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FIG. 1

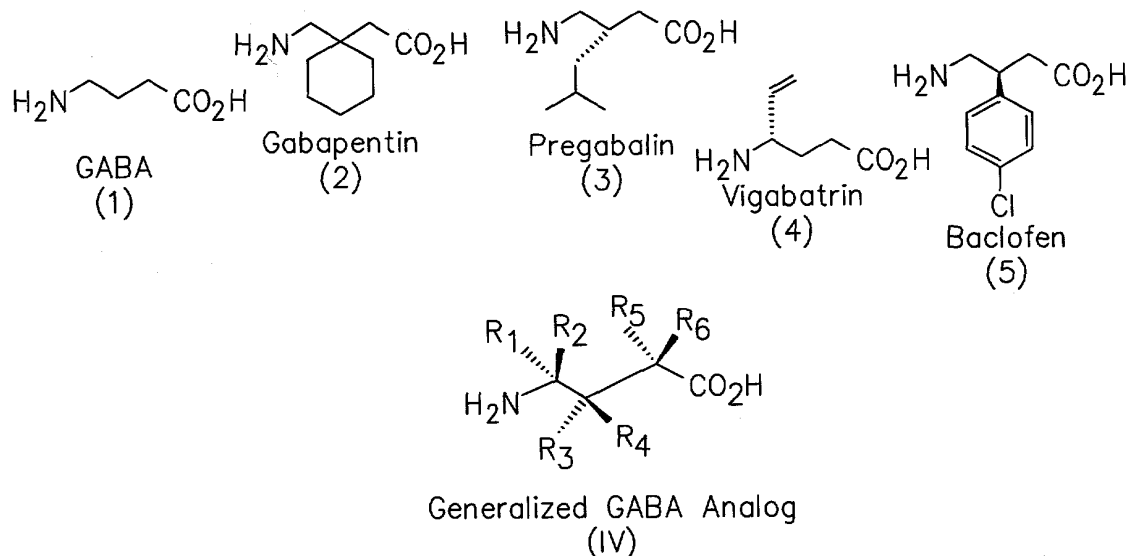
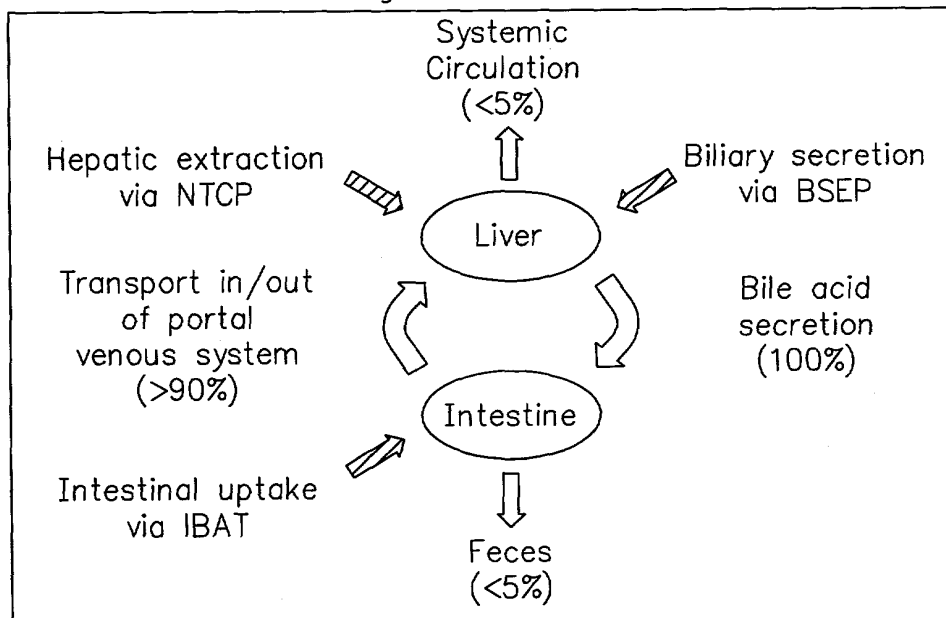


FIG. 2

*The Enterohepatic Circulation with Key Transporter Proteins
 Mediating Bile Acid Circulation*

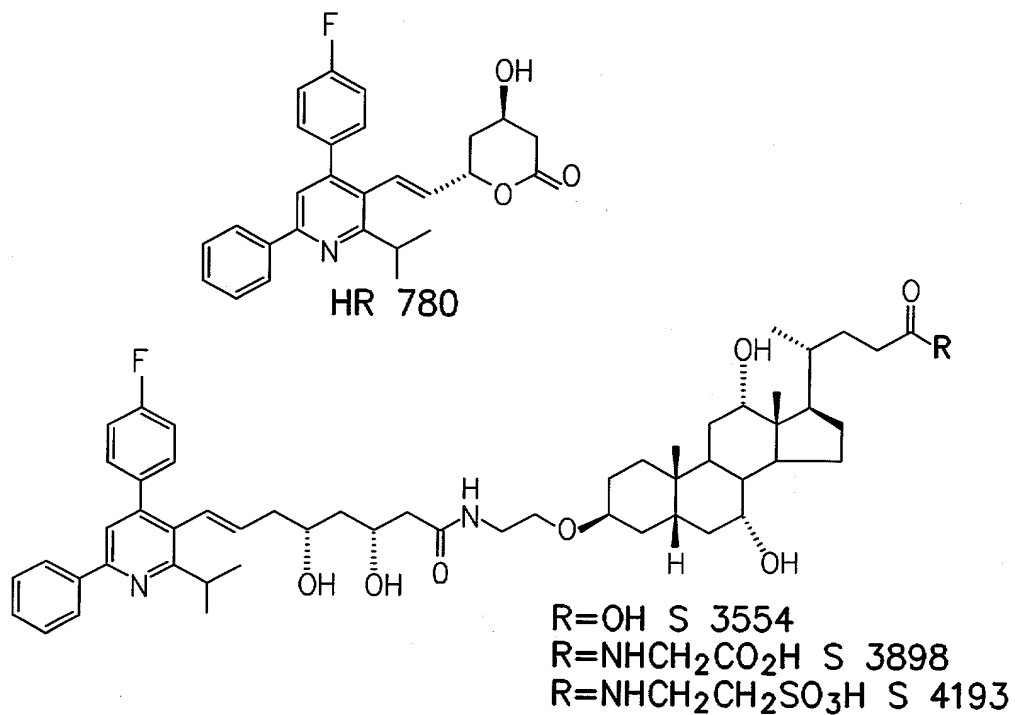


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FIG. 3

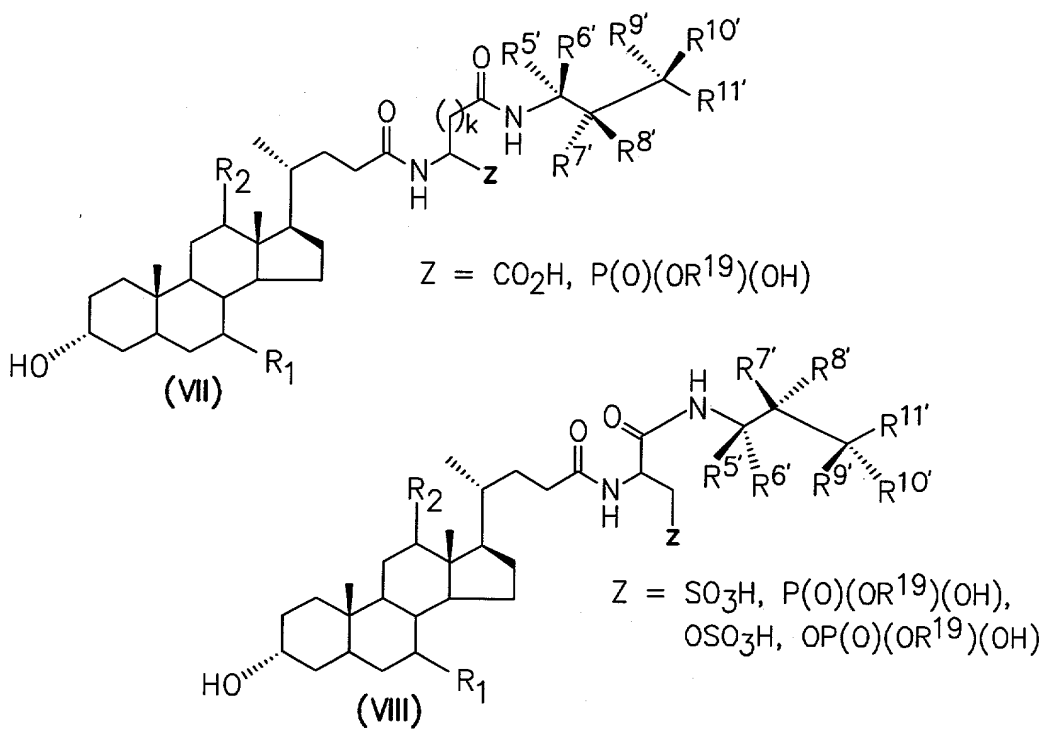
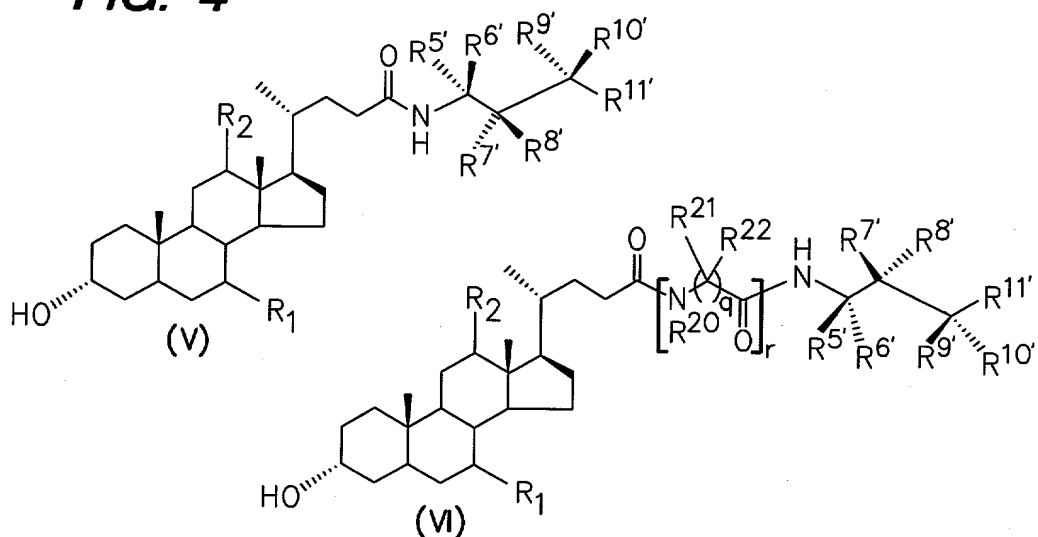
Bile Acid Conjugates of HMG-CoA Reductase Inhibitor



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FIG. 4

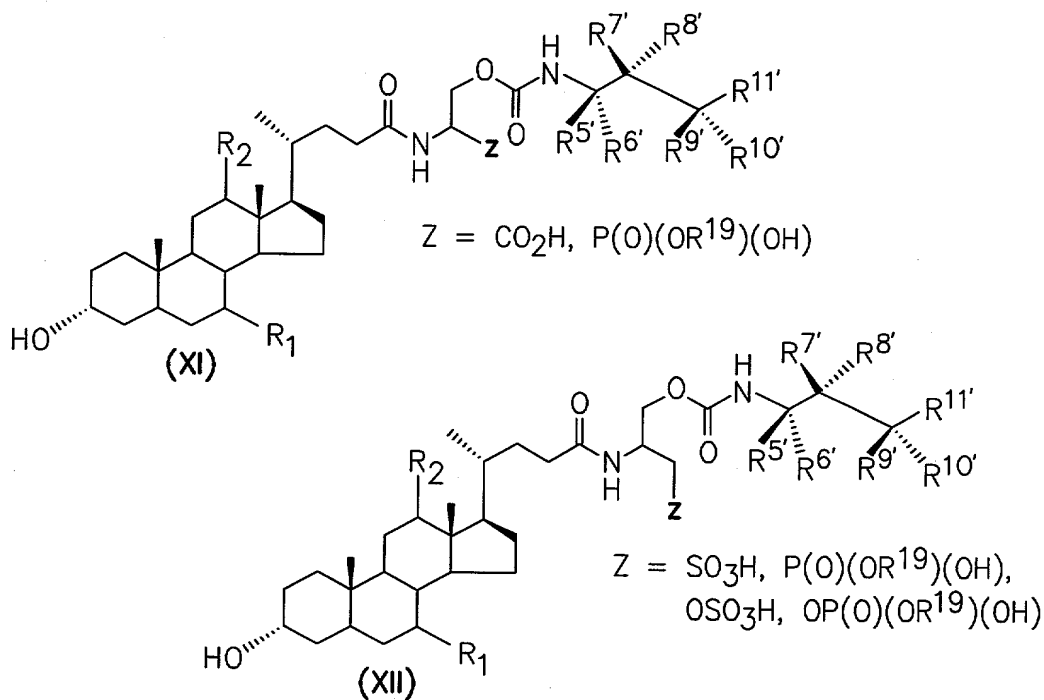
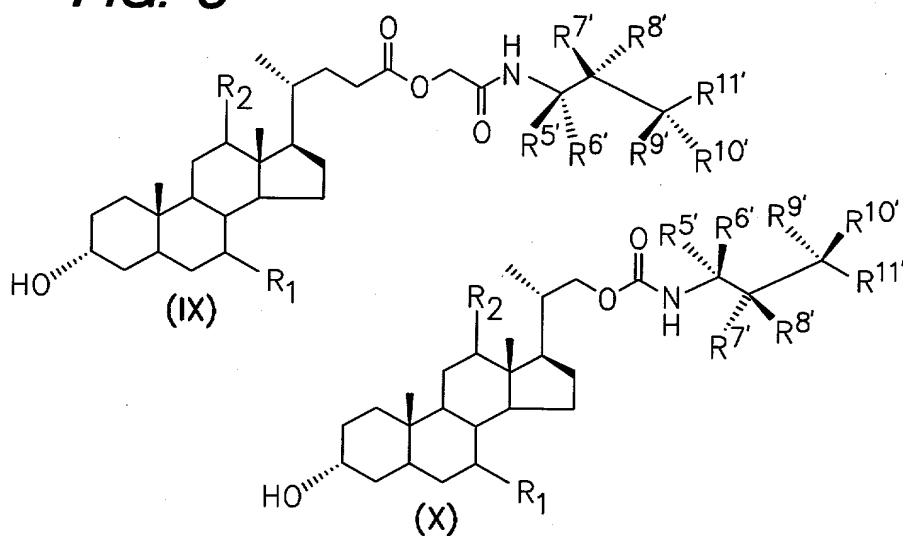
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- R1 = α -OH; R2 = α -OH (Cholate)
- R1 = β -OH; R2 = H (Ursodeoxycholate)
- R1 = α -OH; R2 = H (Chenodeoxycholate)
- R1 = H; R2 = α -OH (Deoxycholate)
- R1 = β -OH; R2 = α -OH (Ursocholate)
- R1 = H; R2 = H (Lithocholate)

FIG. 5

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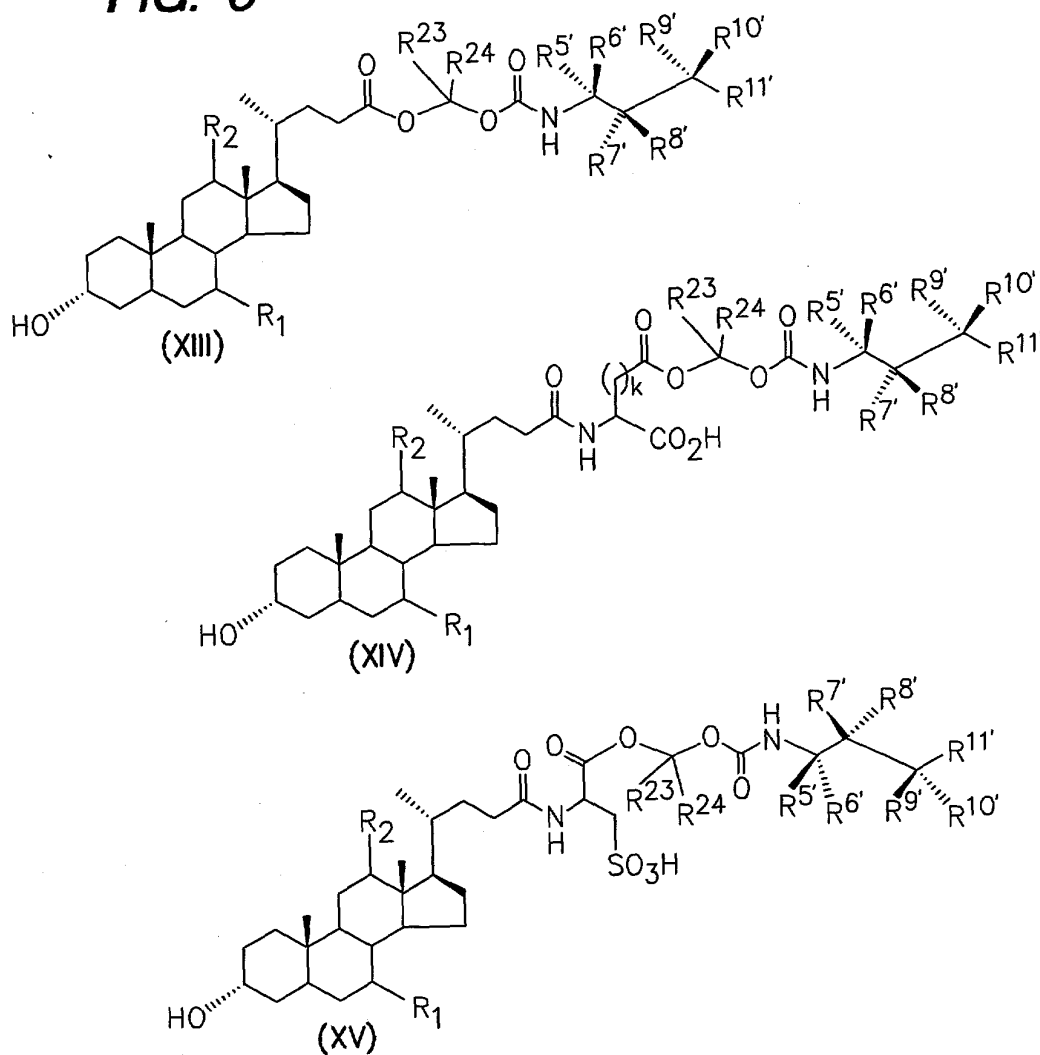


- R₁ = α-OH; R₂ = α-OH (Cholate)
- R₁ = β-OH; R₂ = H (Ursodeoxycholate)
- R₁ = α-OH; R₂ = H (Chenodeoxycholate)
- R₁ = H; R₂ = α-OH (Deoxycholate)
- R₁ = β-OH; R₂ = α-OH (Ursocholate)
- R₁ = H; R₂ = H (Lithocholate)

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FIG. 6

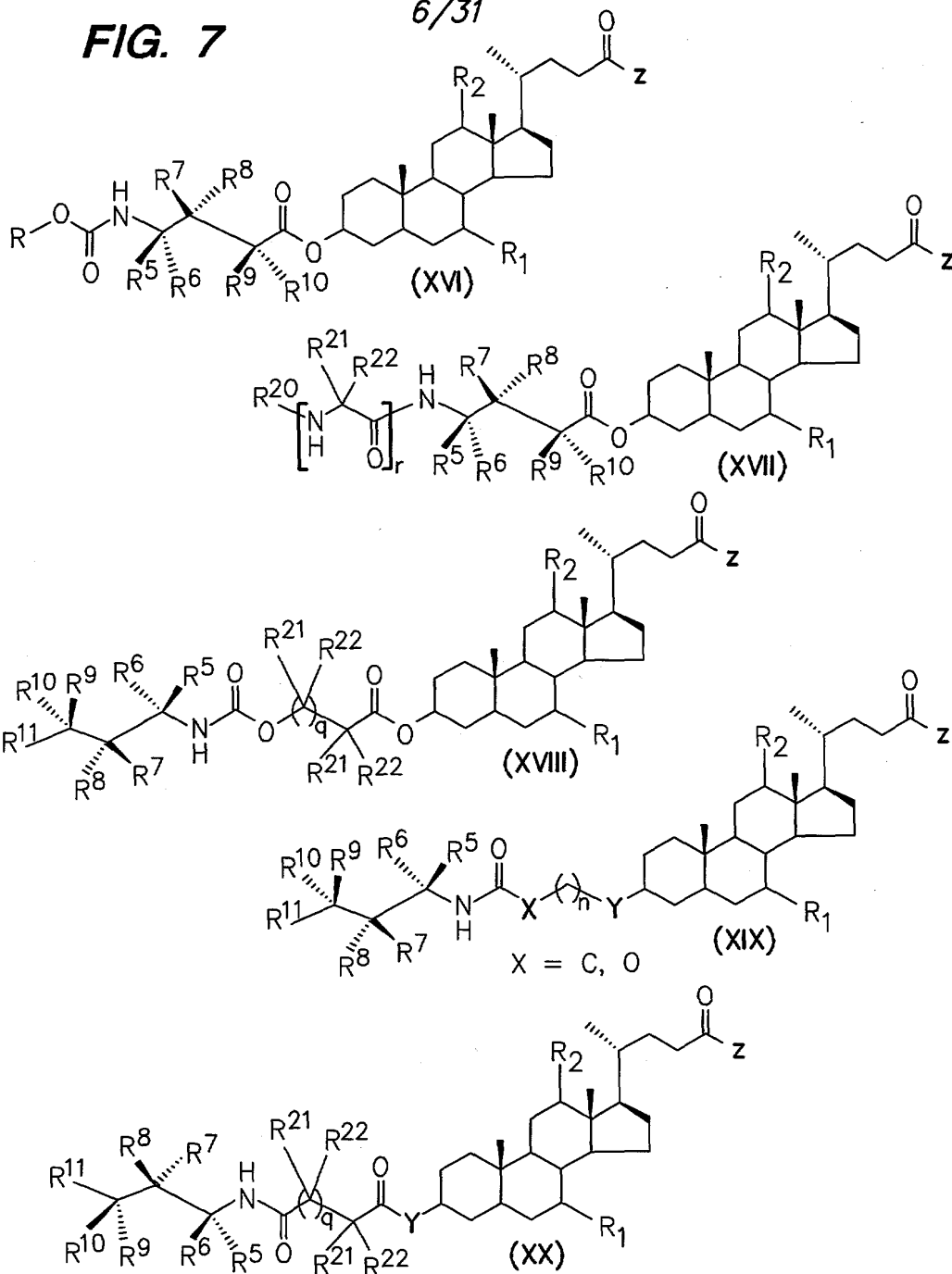


$R_1 = \alpha-OH$; $R_2 = \alpha-OH$ (Cholate)
 $R_1 = \beta-OH$; $R_2 = H$ (Ursodeoxycholate)
 $R_1 = \alpha-OH$; $R_2 = H$ (Chenodeoxycholate)
 $R_1 = H$; $R_2 = \alpha-OH$ (Deoxycholate)
 $R_1 = \beta-OH$; $R_2 = \alpha-OH$ (Ursocholate)
 $R_1 = H$; $R_2 = H$ (Lithocholate)

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FIG. 7

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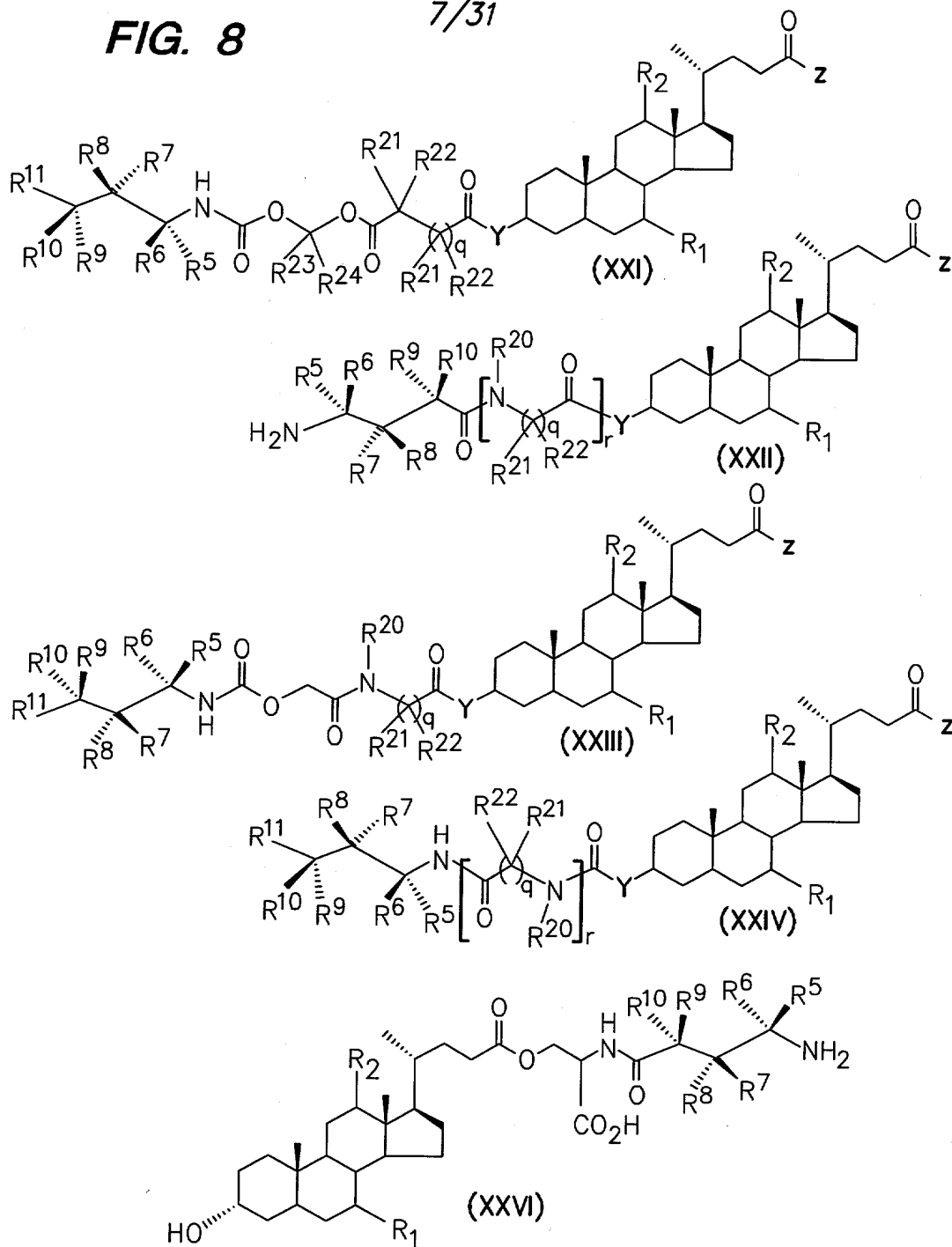


R1 = α -OH; R2 = α -OH (Cholate)
 R1 = β -OH; R2 = H (Ursodeoxycholate)
 R1 = α -OH; R2 = H (Chenodeoxycholate)
 R1 = H; R2 = α -OH (Deoxycholate)
 R1 = β -OH; R2 = α -OH (Ursocholate)
 R1 = H; R2 = H (Lithocholate)

$$\begin{aligned} Y &= \alpha-O \\ Y &= \beta-O \\ Y &= \alpha-NH \\ Y &= \beta-NH \end{aligned}$$
$$\begin{aligned} Z &= \text{OH} \\ Z &= \text{N} \begin{array}{c} \diagup \\ \text{CH}_2 \\ \diagdown \\ \text{H} \end{array} \text{CO}_2\text{H} \\ Z &= \text{N} \begin{array}{c} \diagup \\ \text{CH}_2 \\ \diagdown \\ \text{H} \end{array} \text{CH}_2\text{SO}_3\text{H} \end{aligned}$$

FIG. 8

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- | | | |
|---|------------------|--|
| R1 = α -OH; R2 = α -OH (Cholate) | Y = α -O | Z = OH |
| R1 = β -OH; R2 = H (Ursodeoxycholate) | Y = β -O | Z = N-CH ₂ -CO ₂ H |
| R1 = α -OH; R2 = H (Chenodeoxycholate) | Y = α -NH | Z = N-CH ₂ -SO ₃ H |
| R1 = H; R2 = α -OH (Deoxycholate) | Y = β -NH | |
| R1 = β -OH; R2 = α -OH (Ursocholate) | | |
| R1 = H; R2 = H (Lithocholate) | | |

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FIG. 9 Uptake of (8) (XP10569) or Glycochocholate by IBAT-Transfected CHO Cells

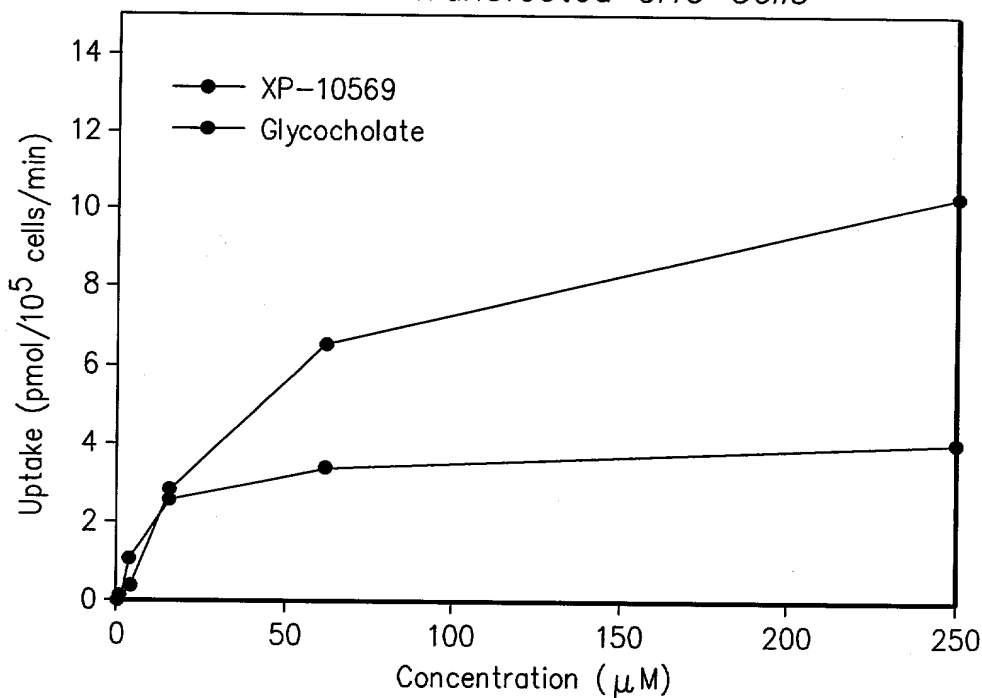
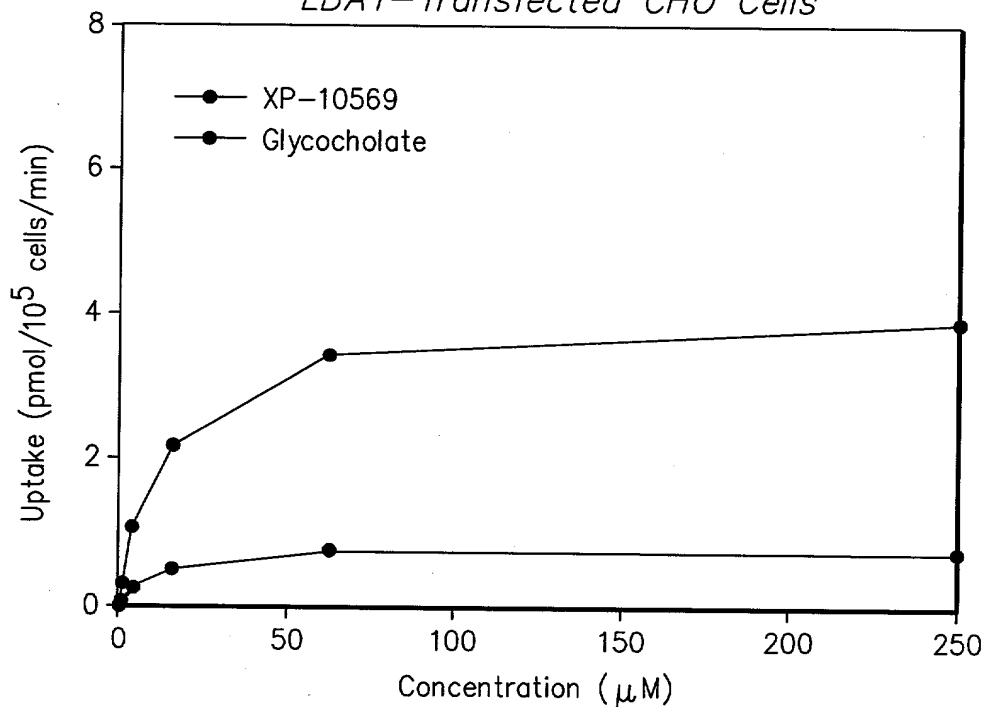
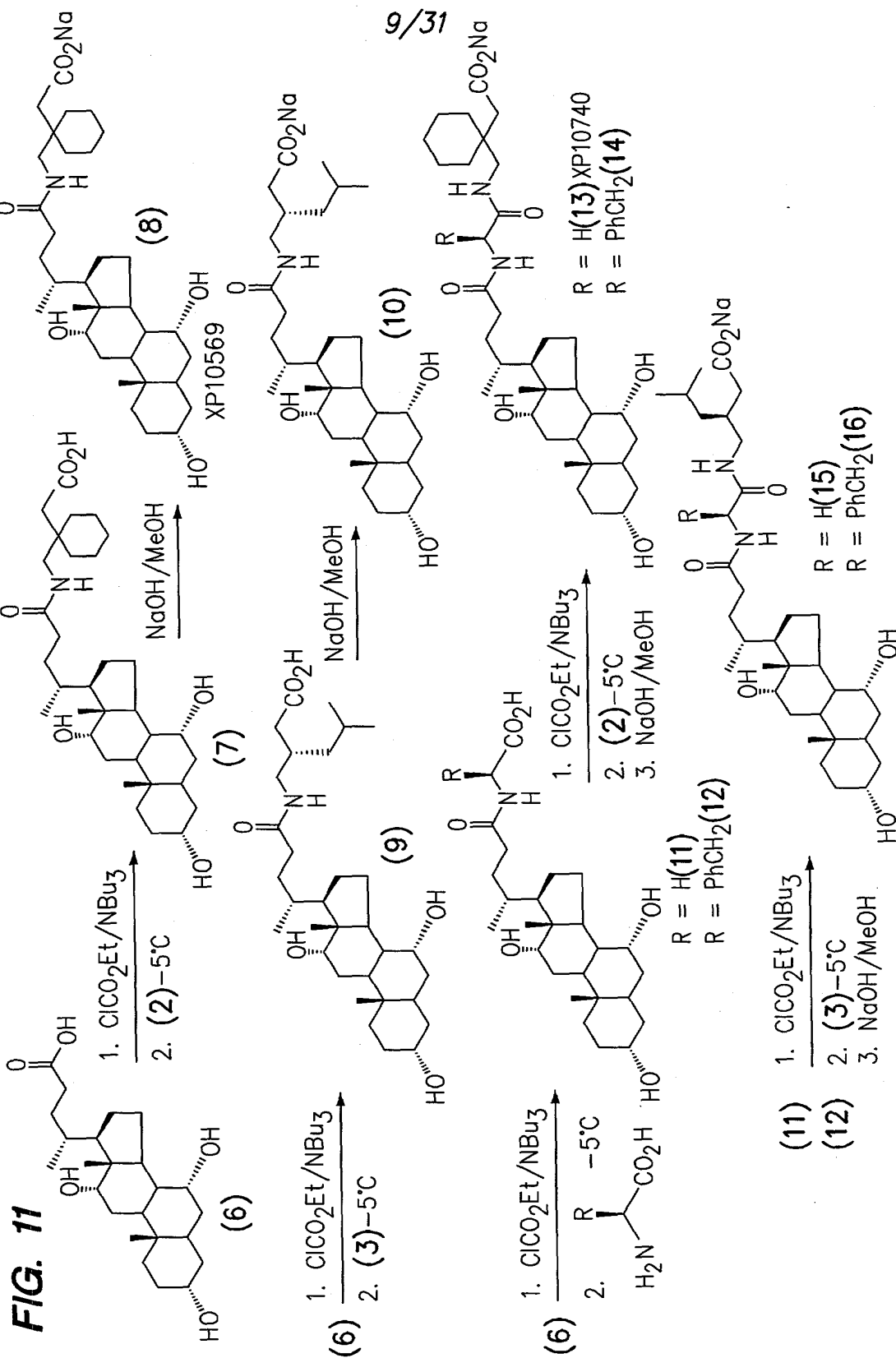


FIG. 10 Uptake of (8) (XP10569) or Glycocholate by LBAT-Transfected CHO Cells





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FIG. 12

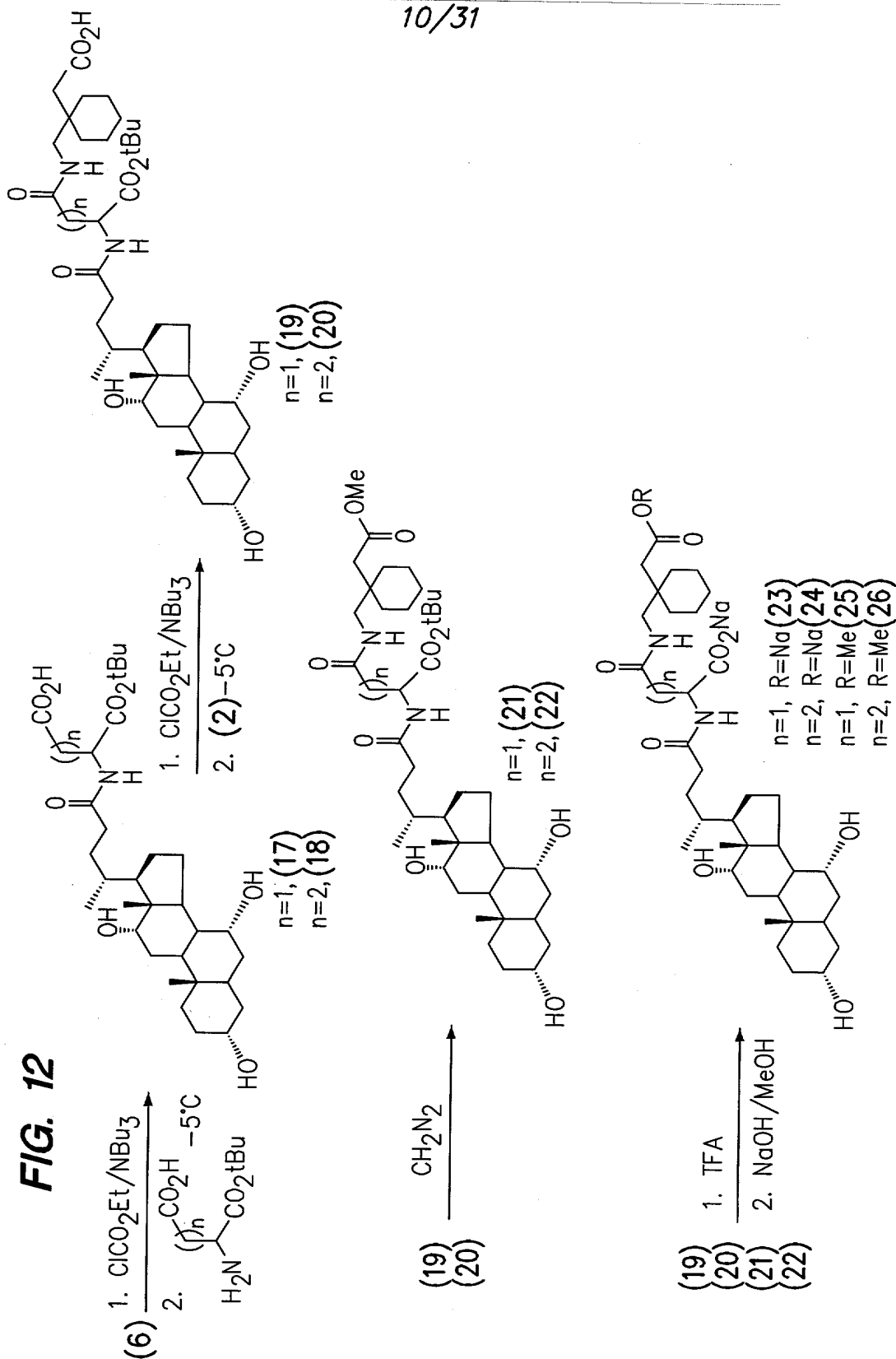
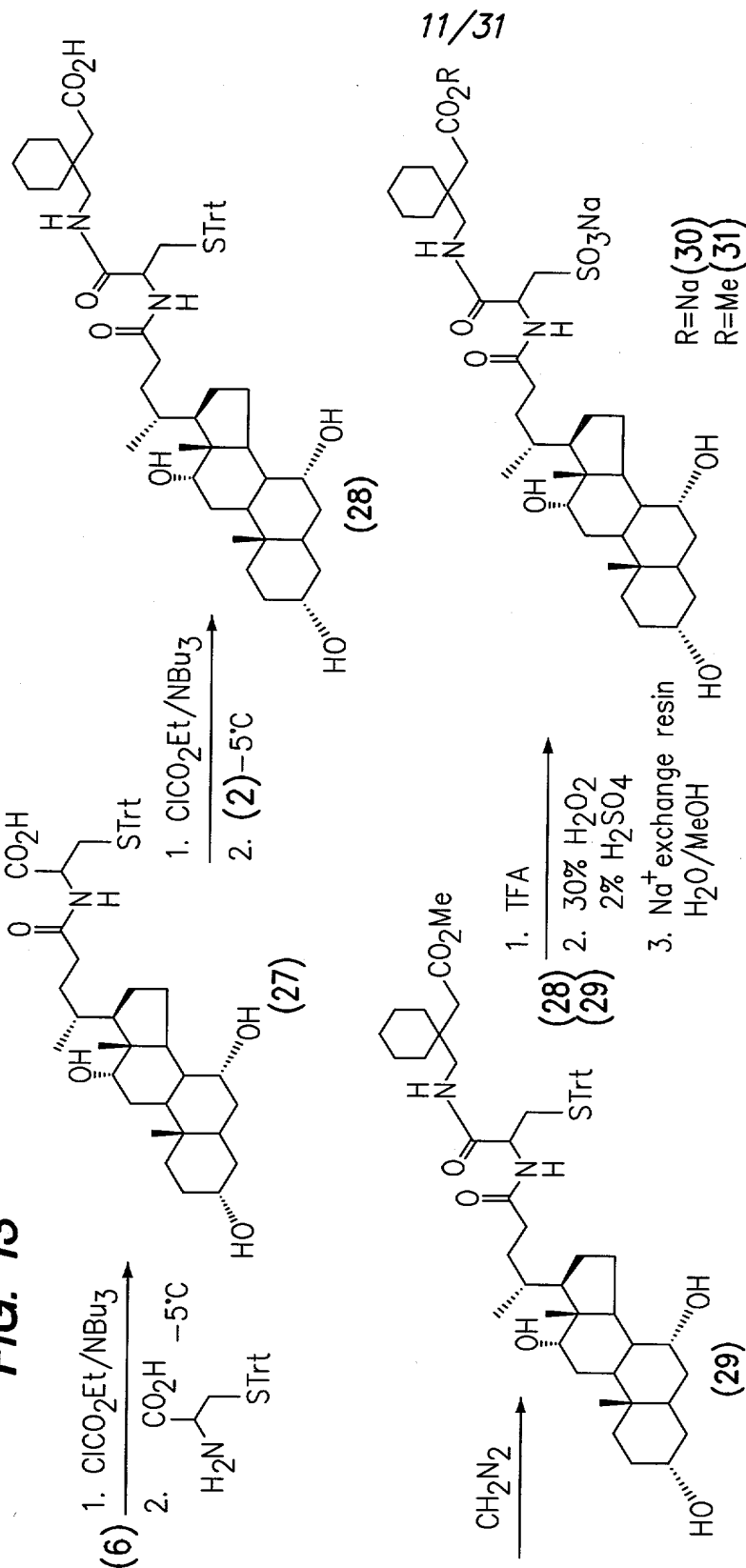
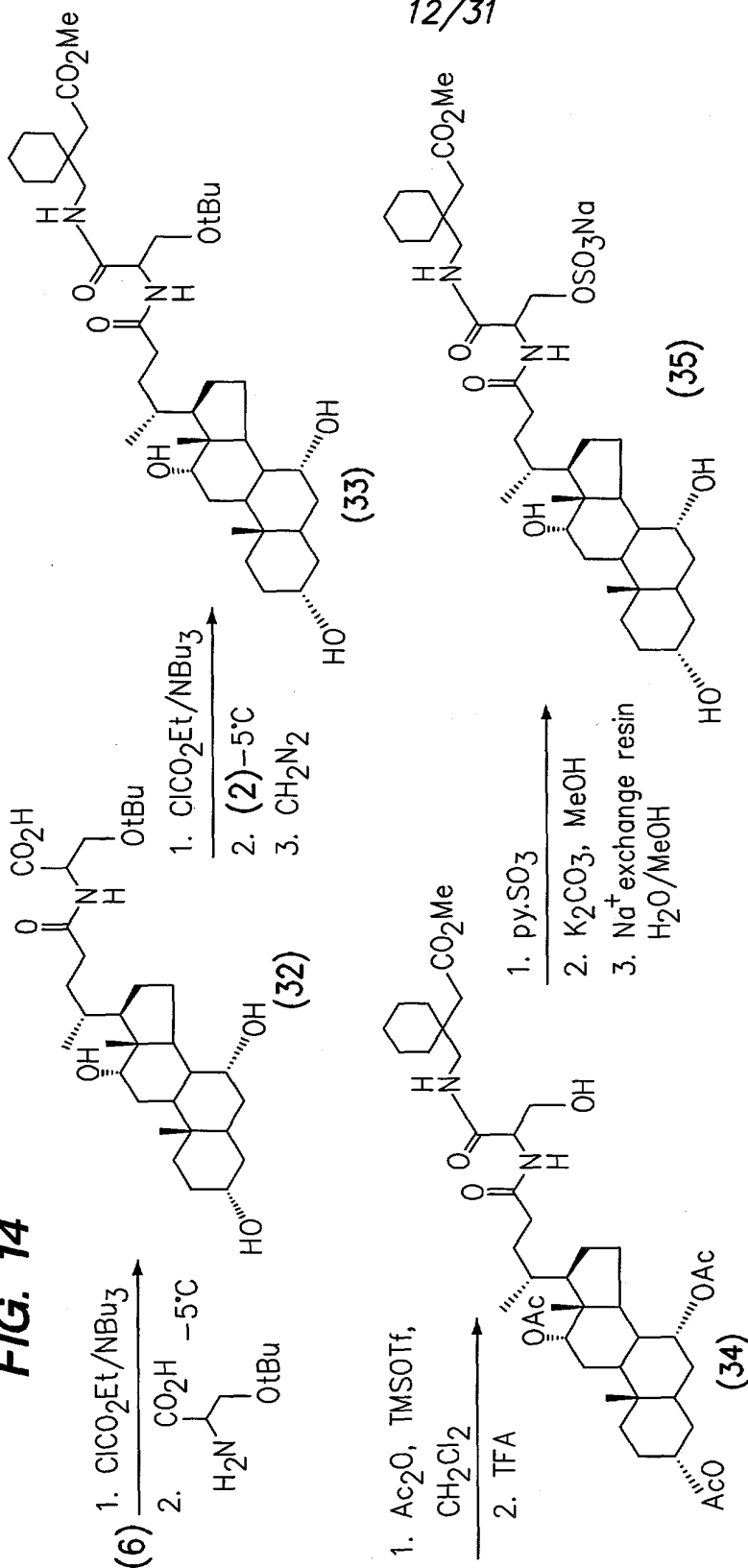


FIG. 13



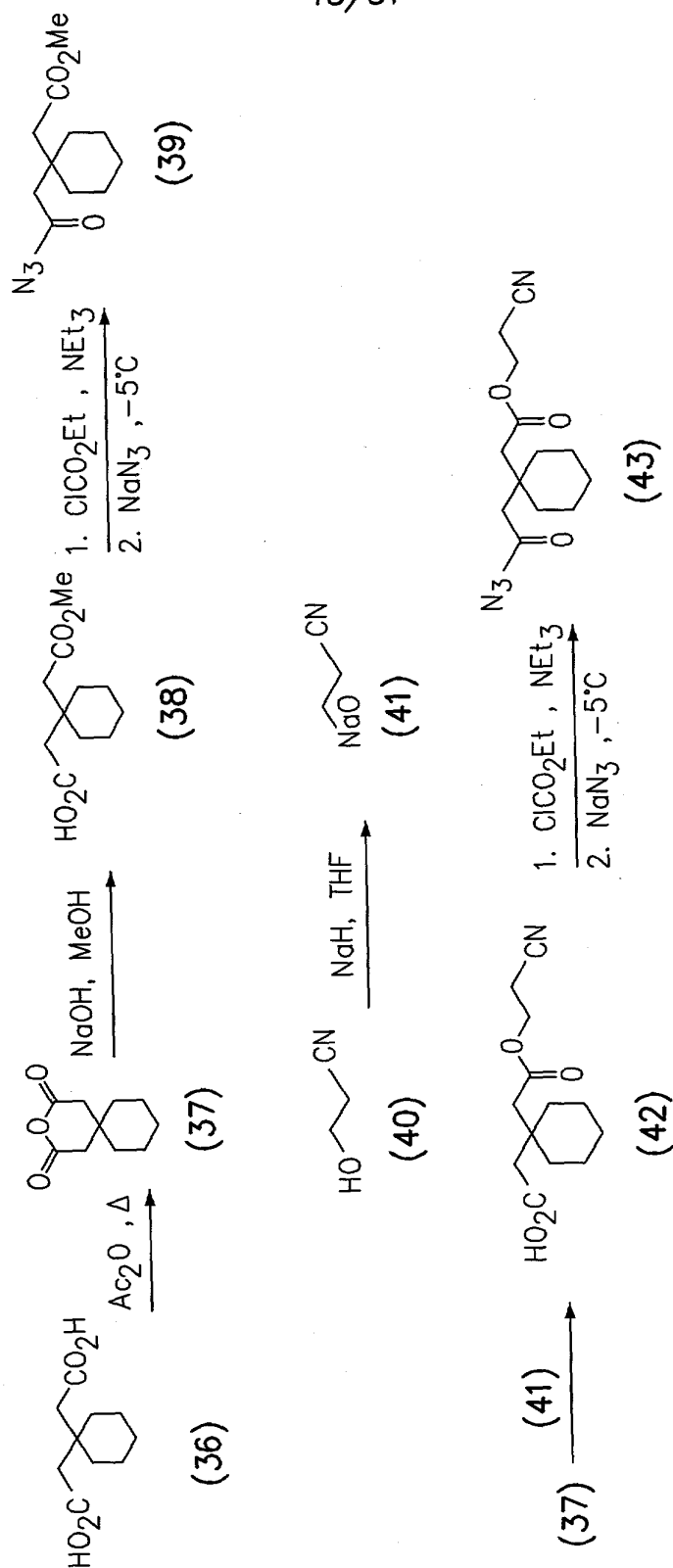
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FIG. 14



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FIG. 15



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FIG. 16

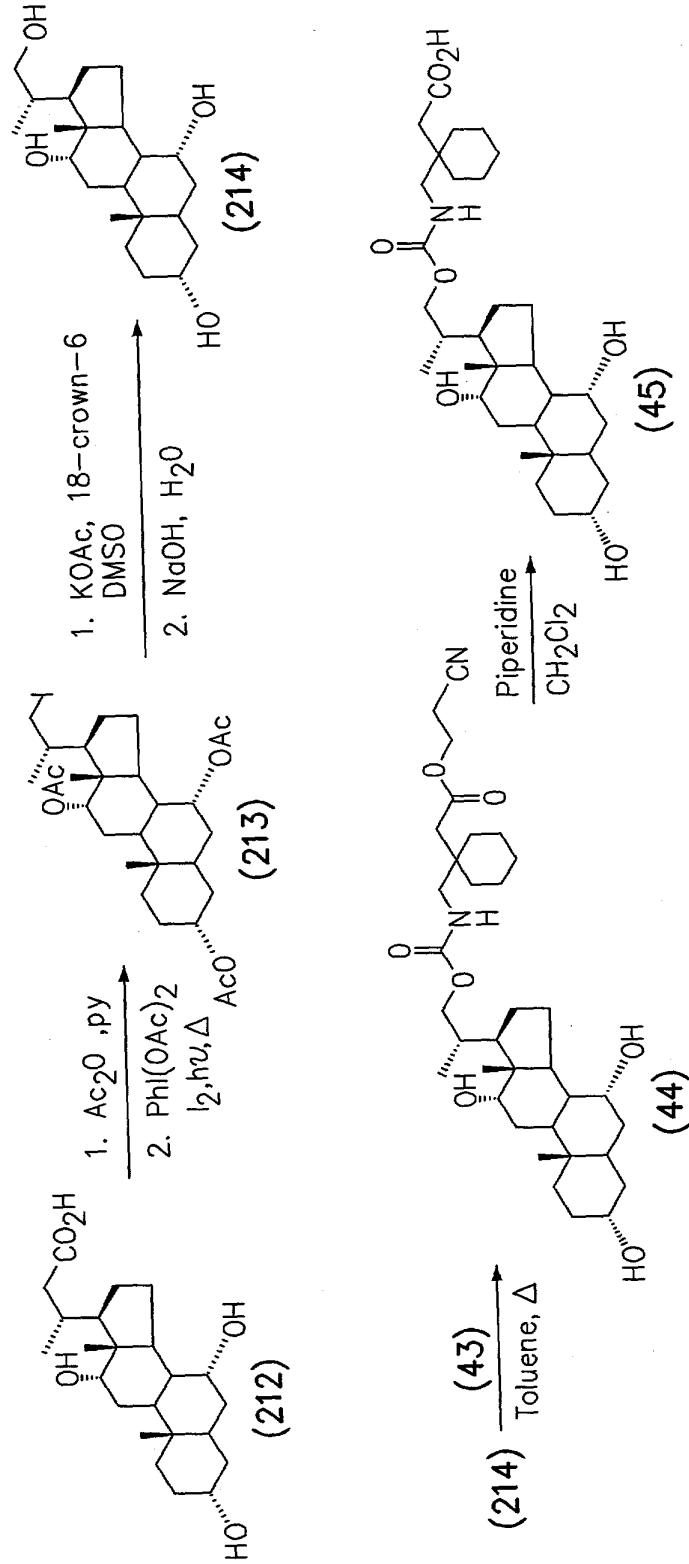


FIG. 17

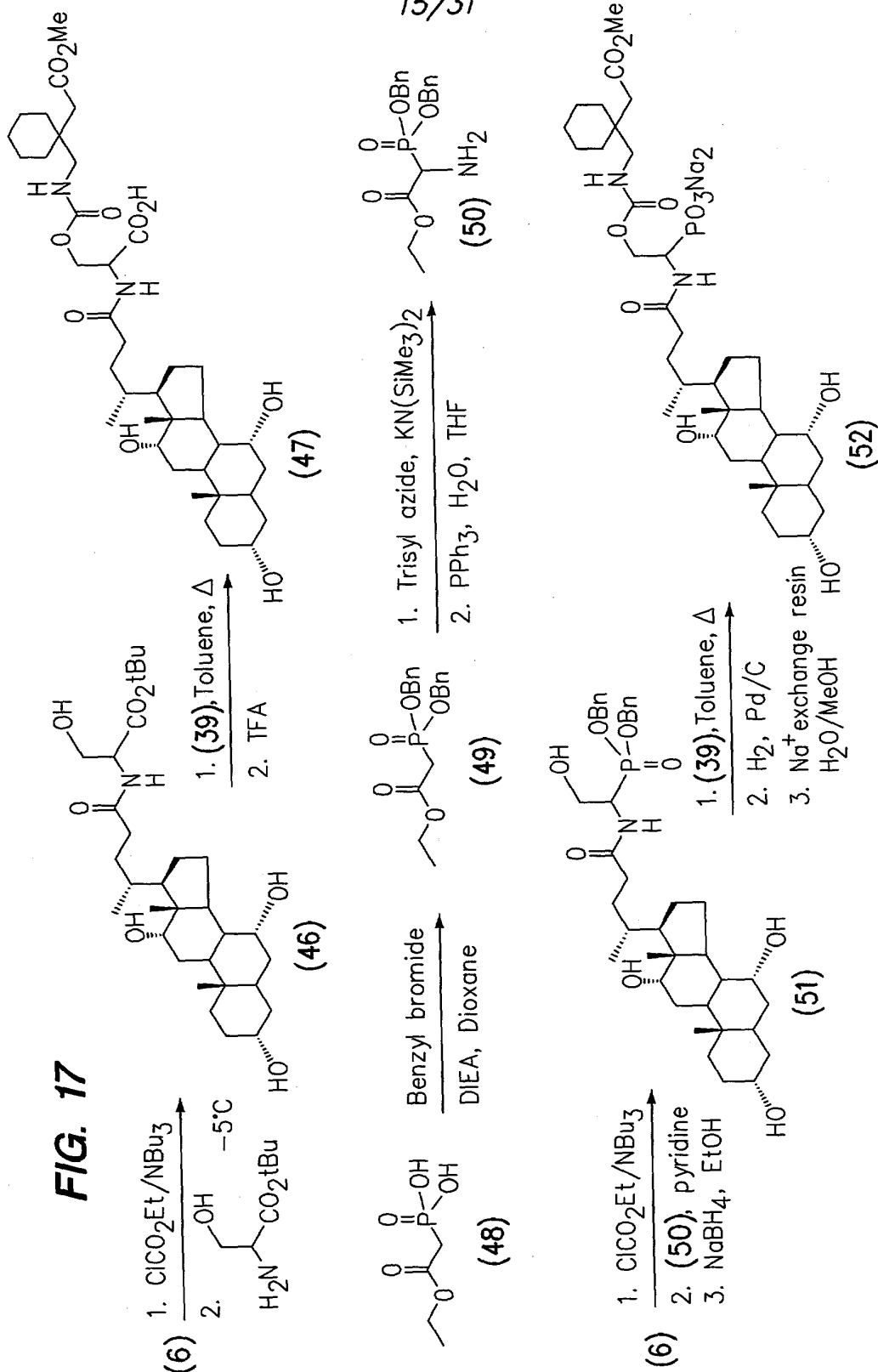
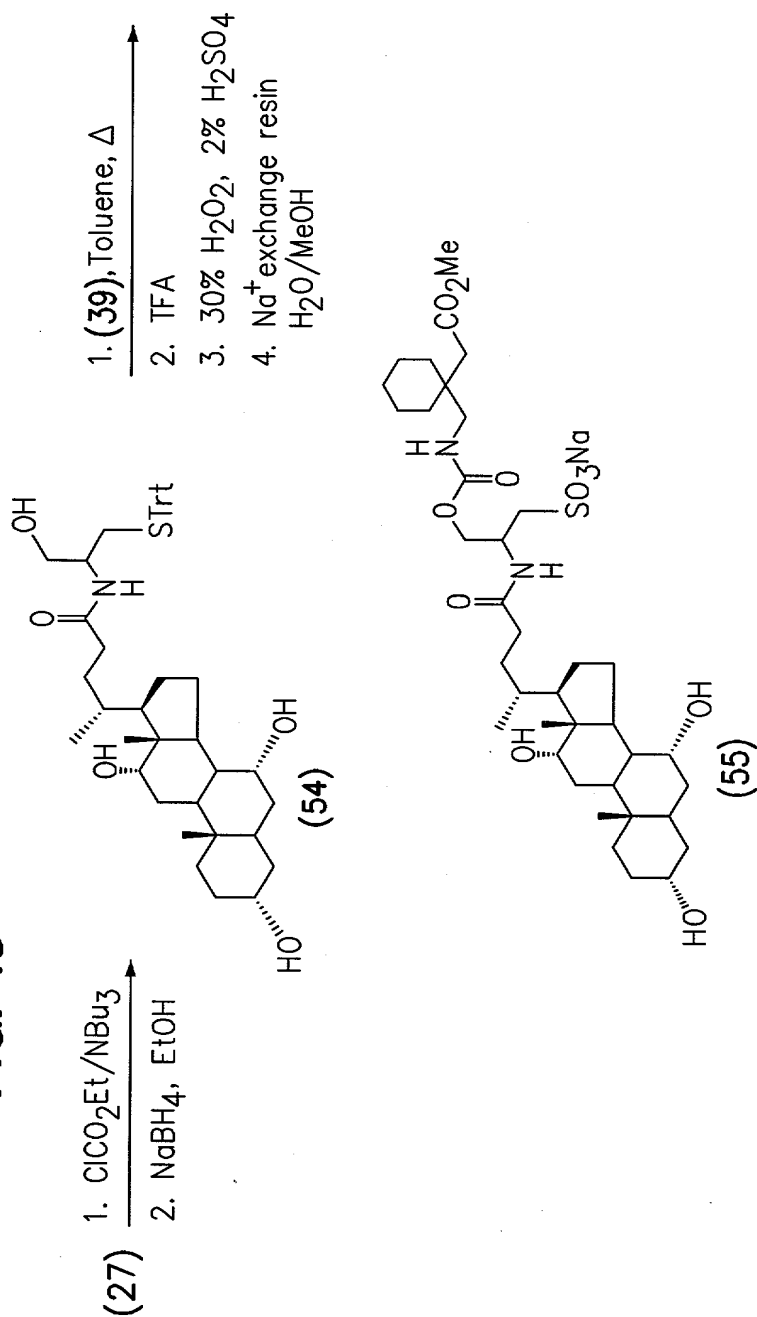


FIG. 18



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FIG. 19

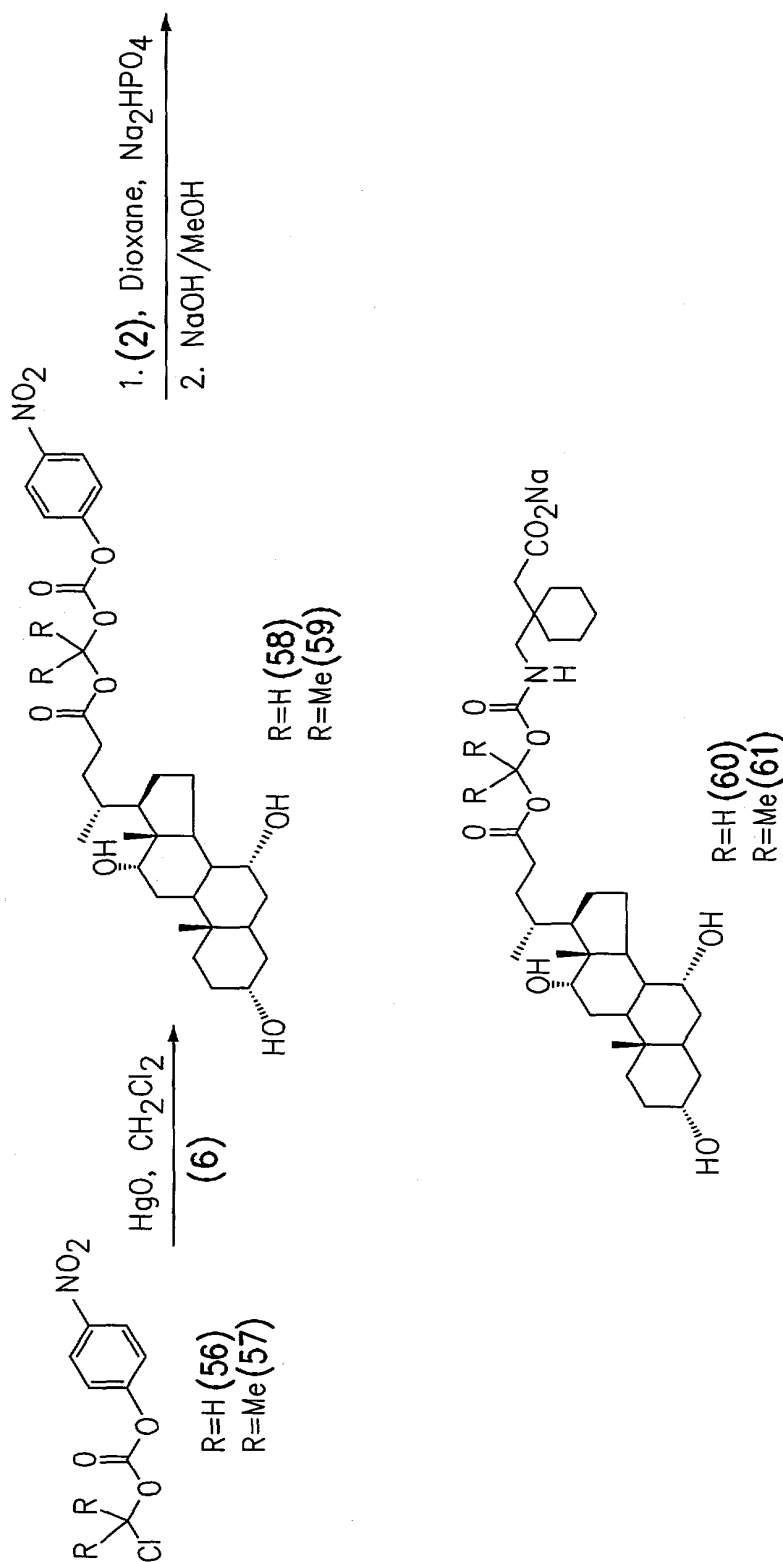


FIG. 20

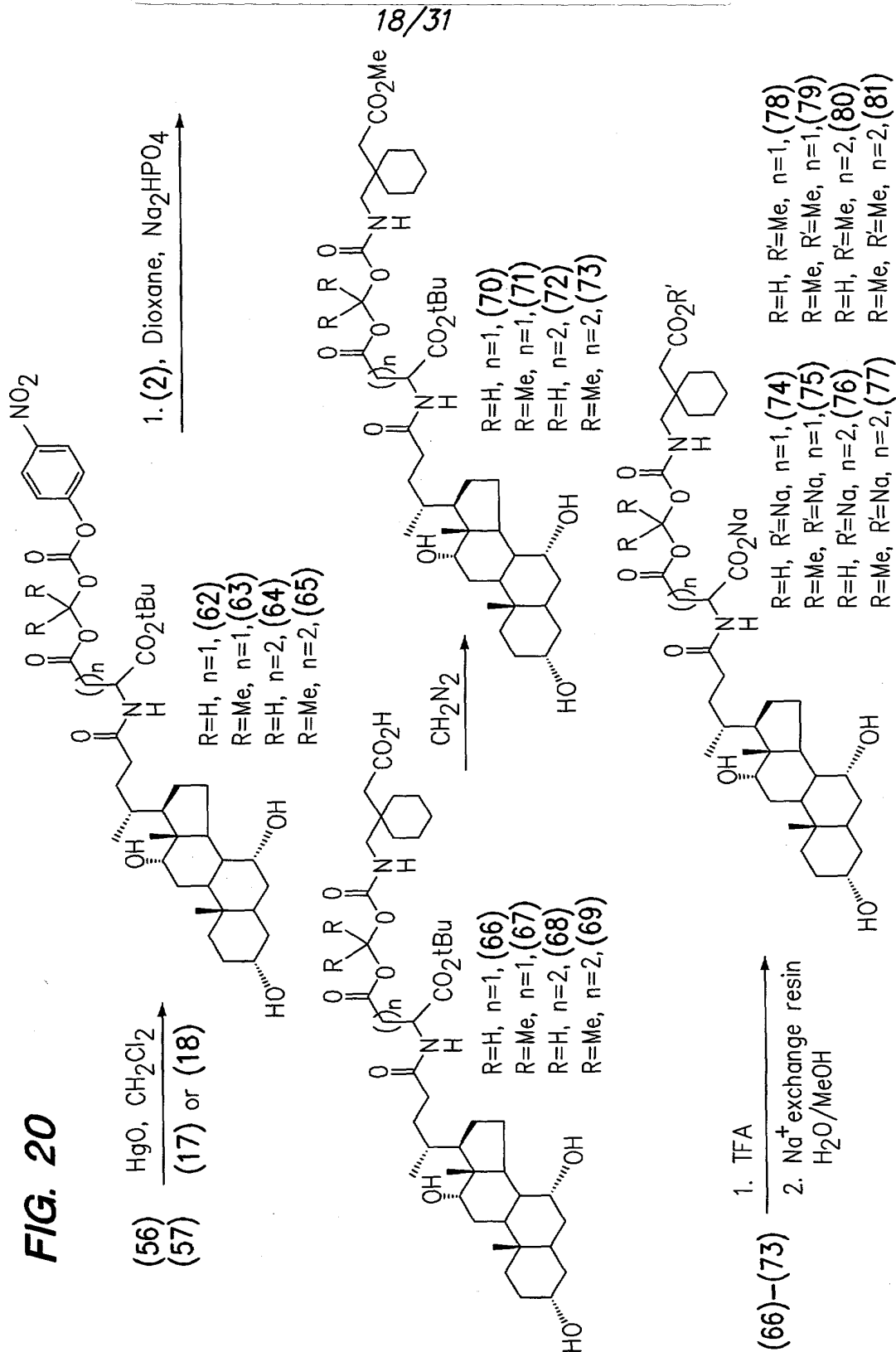
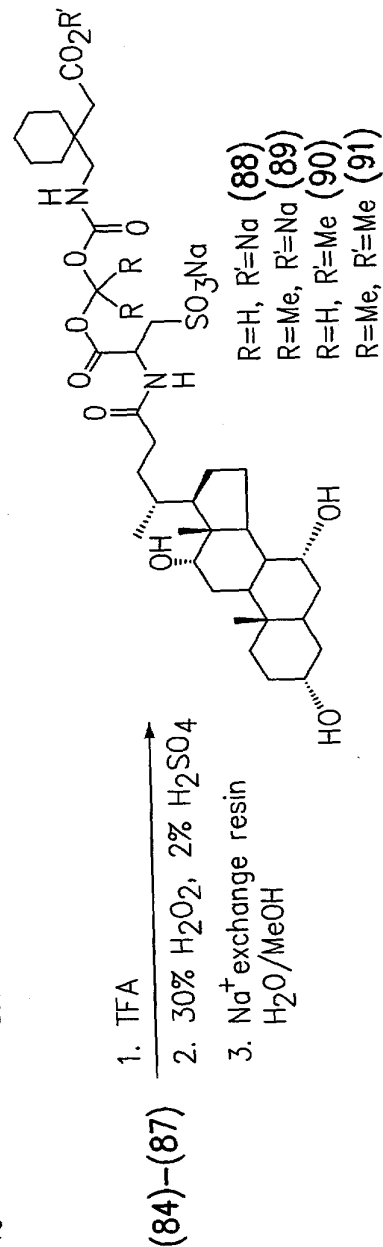
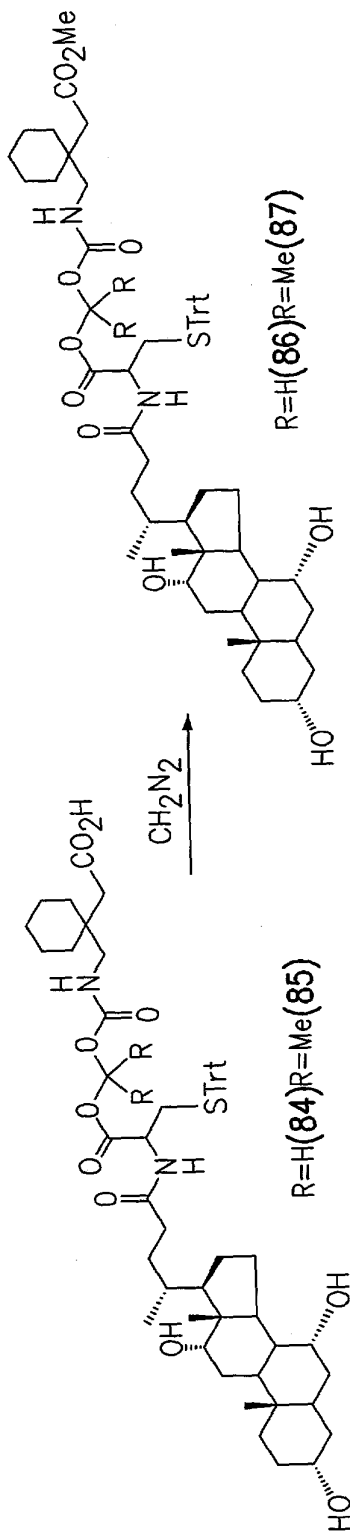
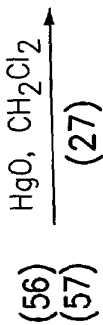
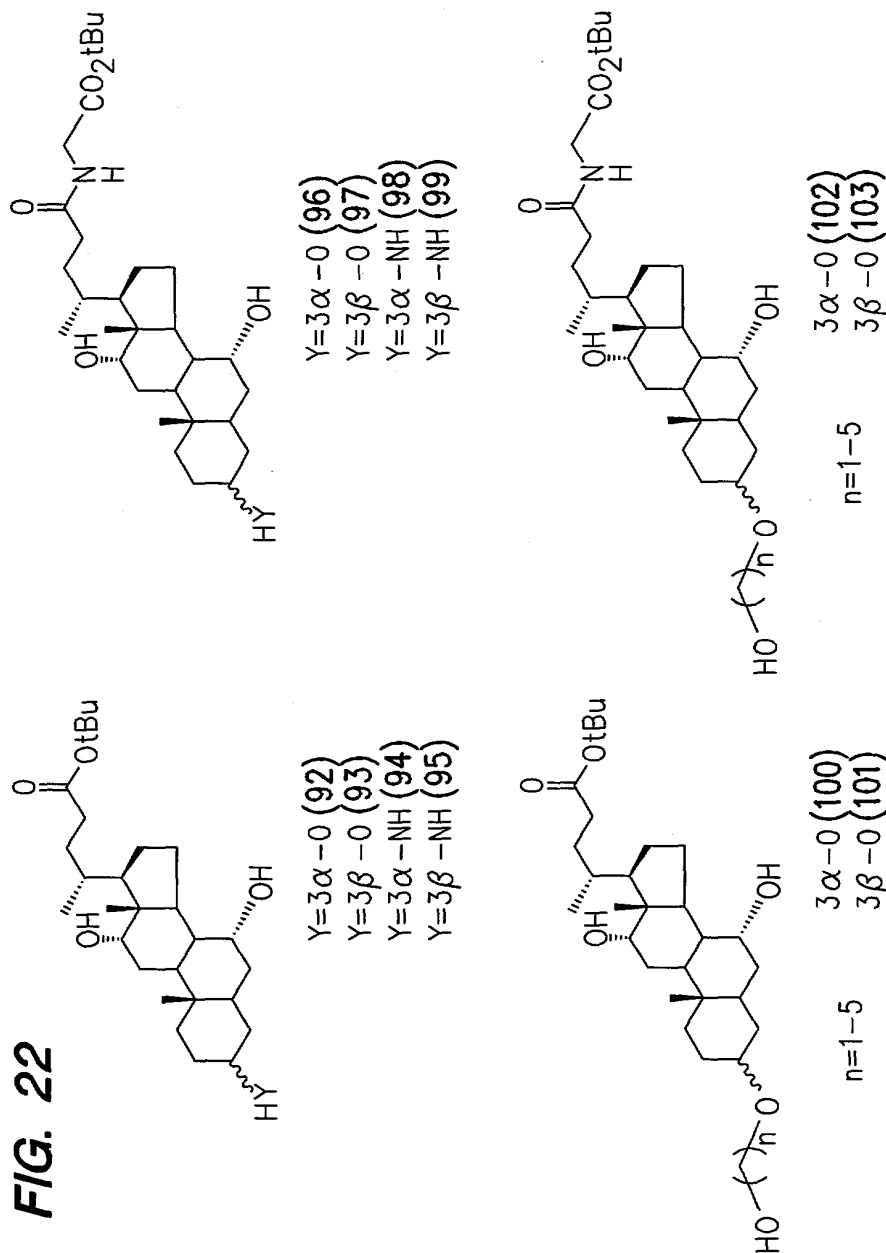


FIG. 21



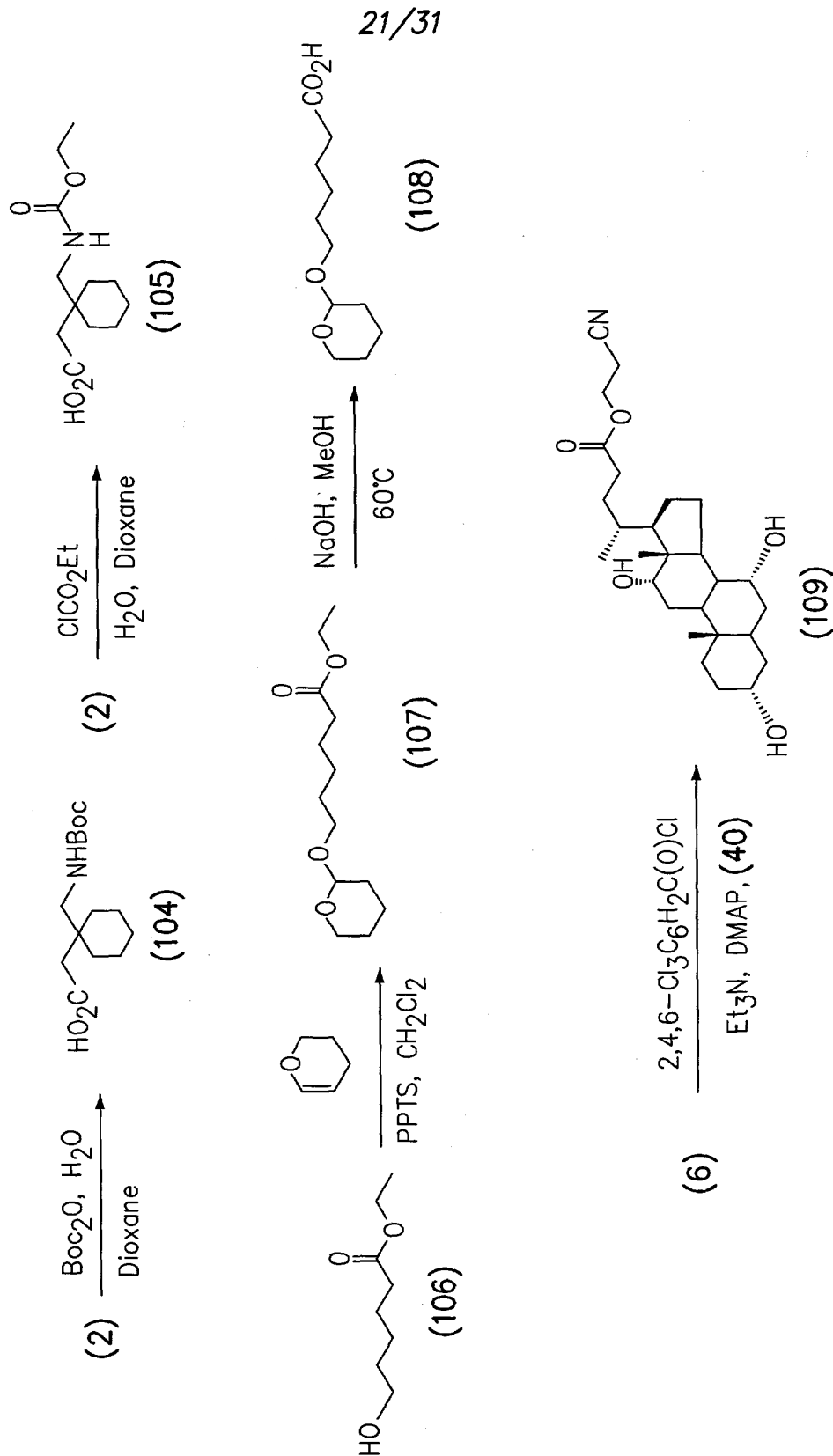
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FIG. 22



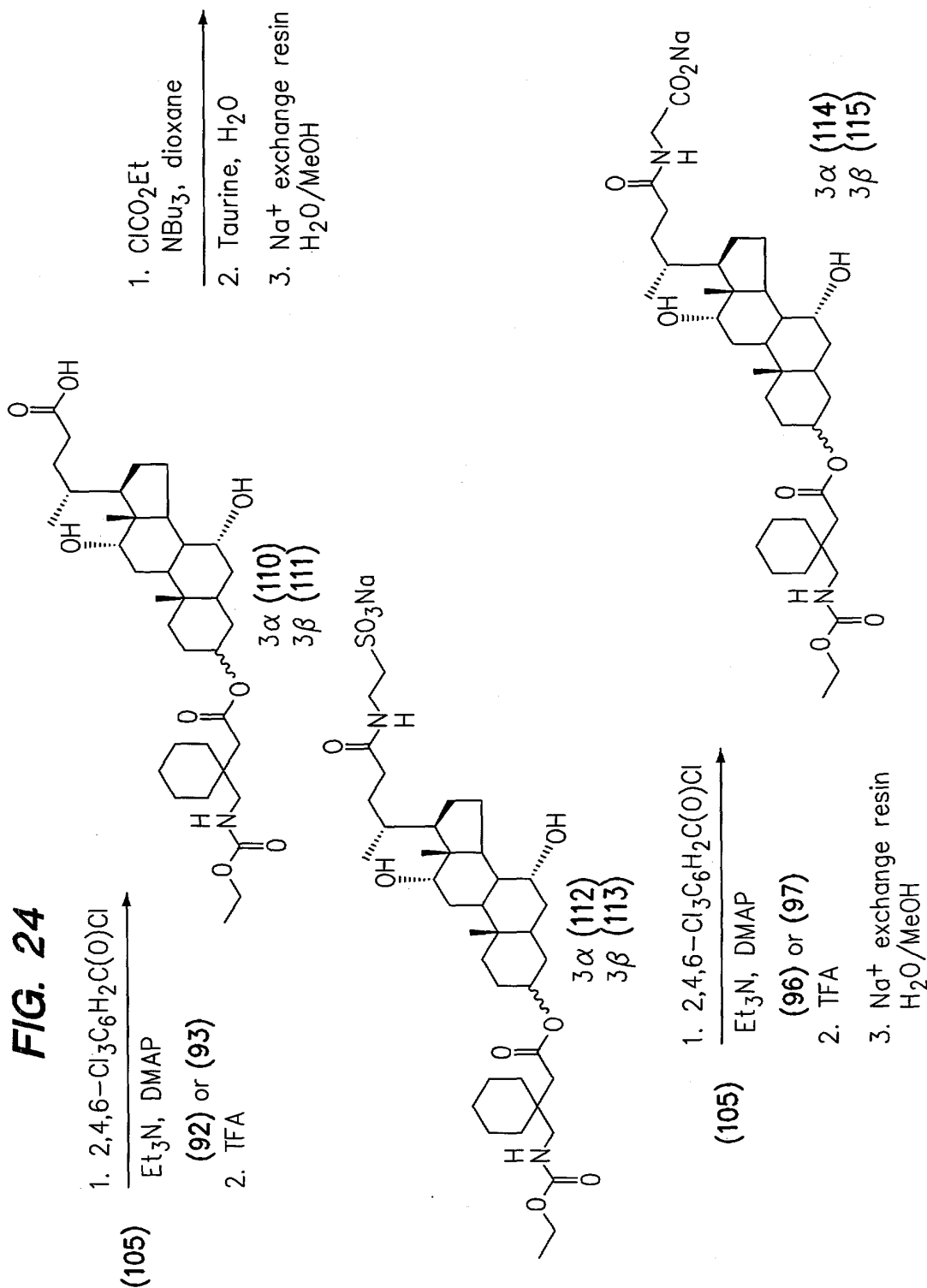
Compounds (92)-(103) prepared following methods described in co-pending application "Bile Acid-Derived Compounds for Enhancing Oral Absorption and Systemic Bioavailability of Drugs" assigned to XenoPort, Inc.

FIG. 23



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FIG. 24



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FIG. 25

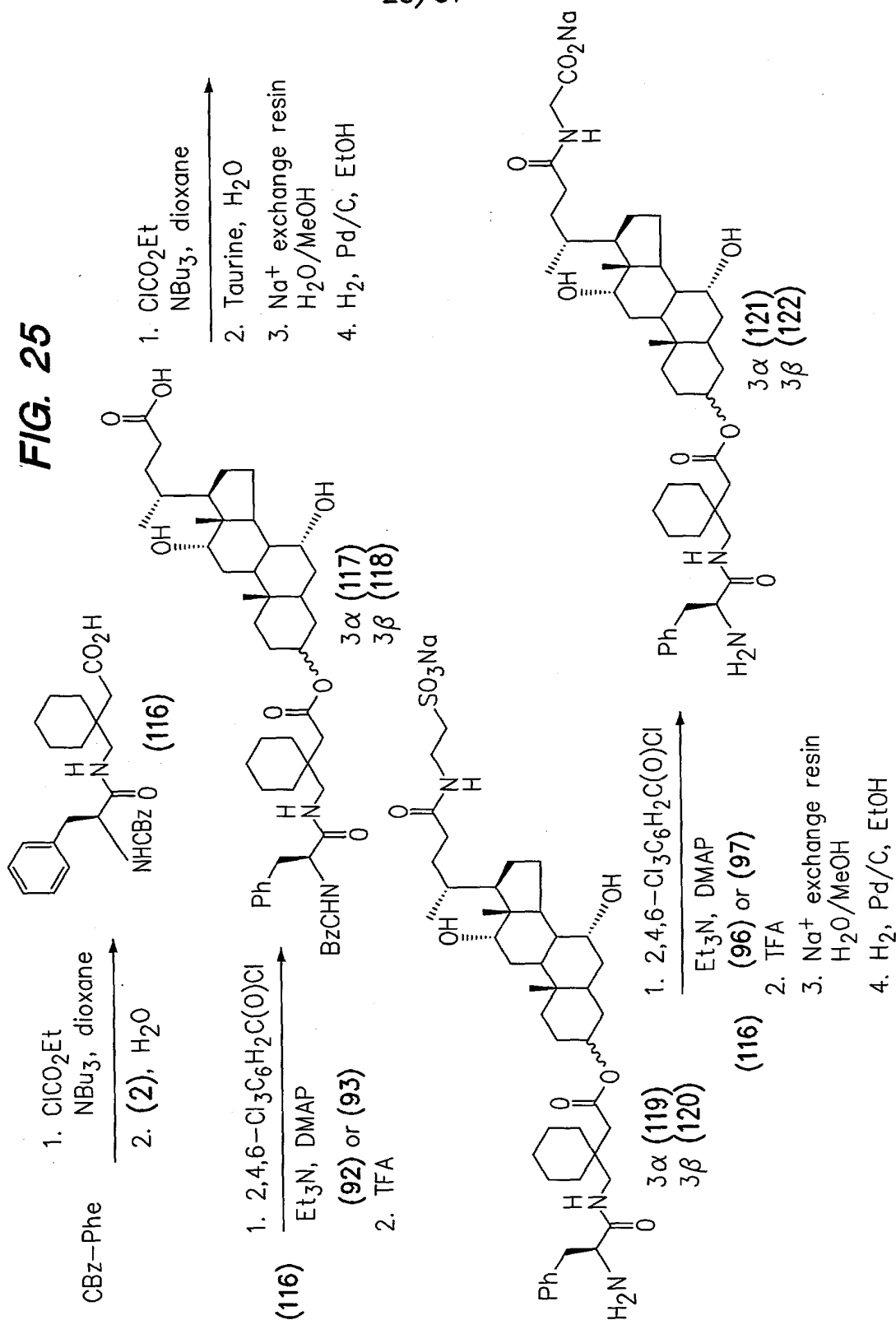


FIG. 26

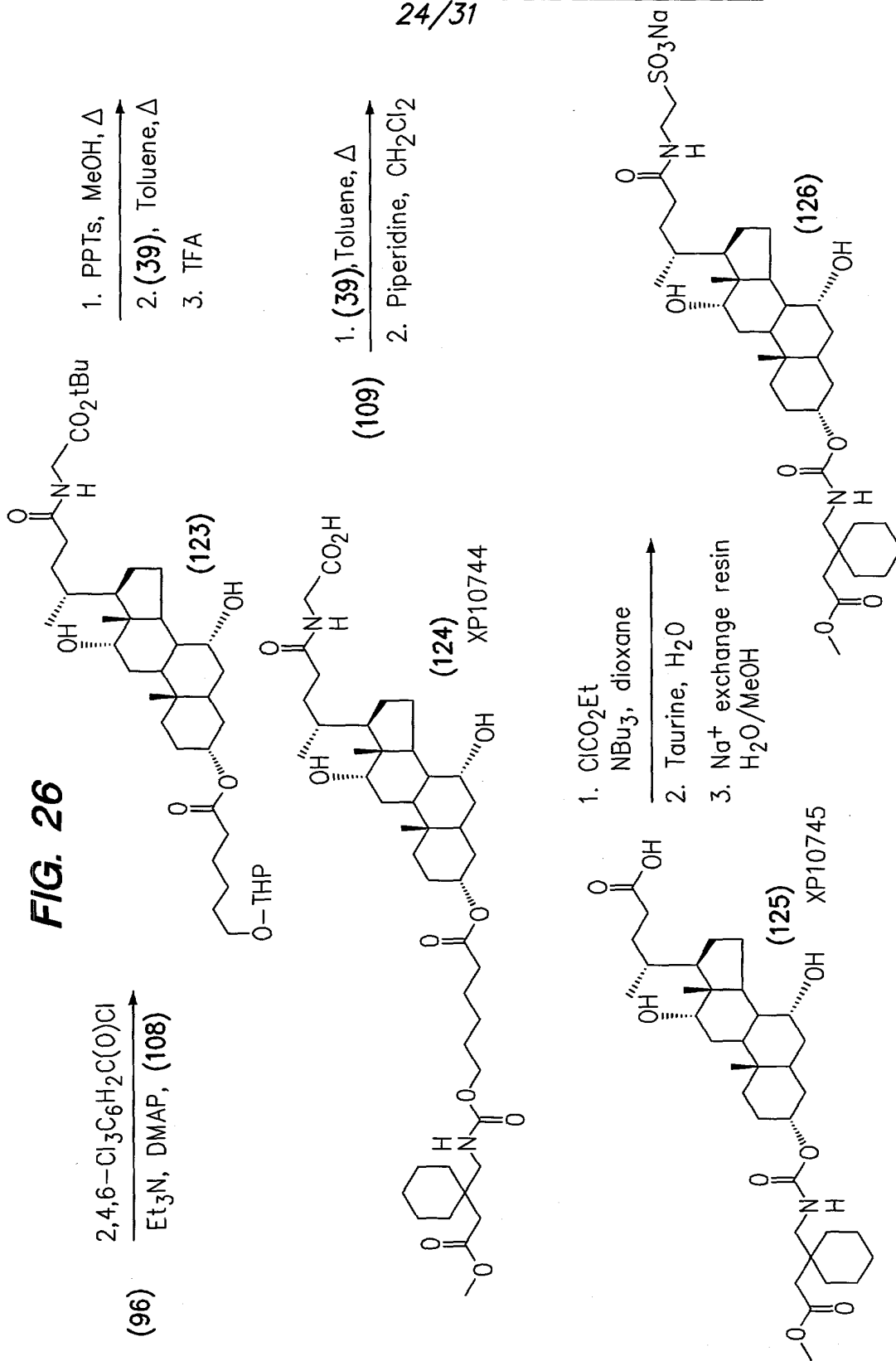
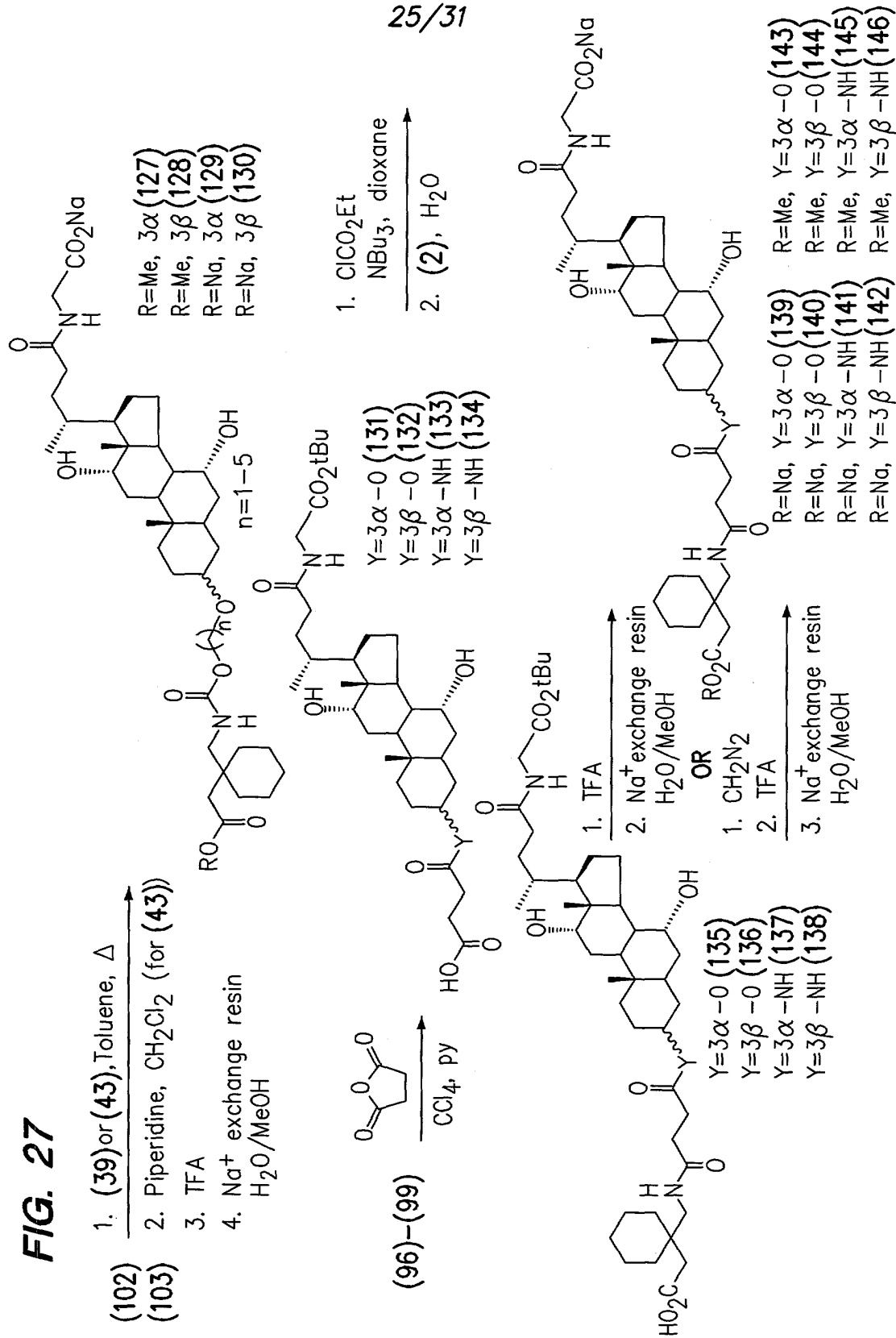
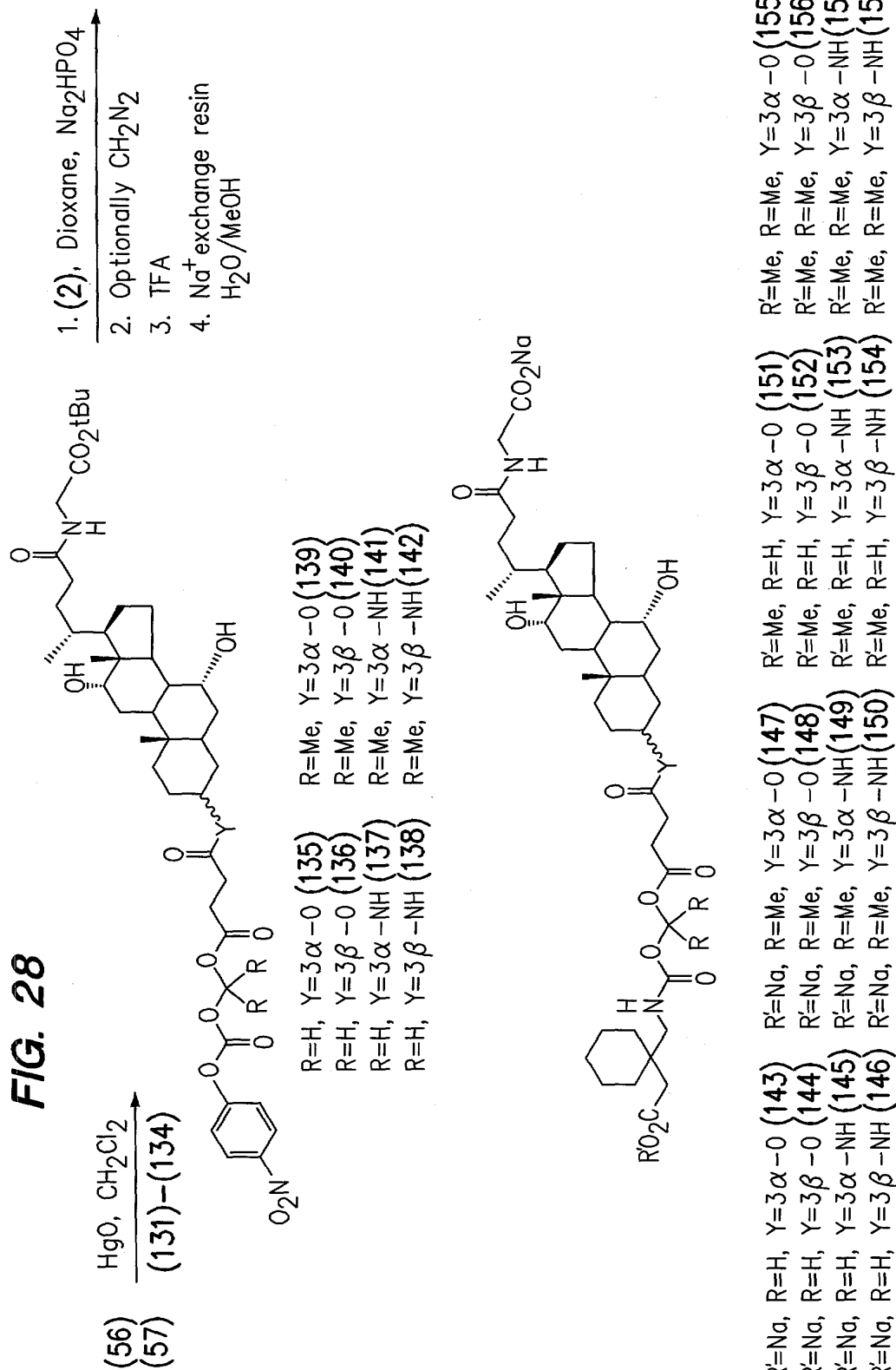


FIG. 27



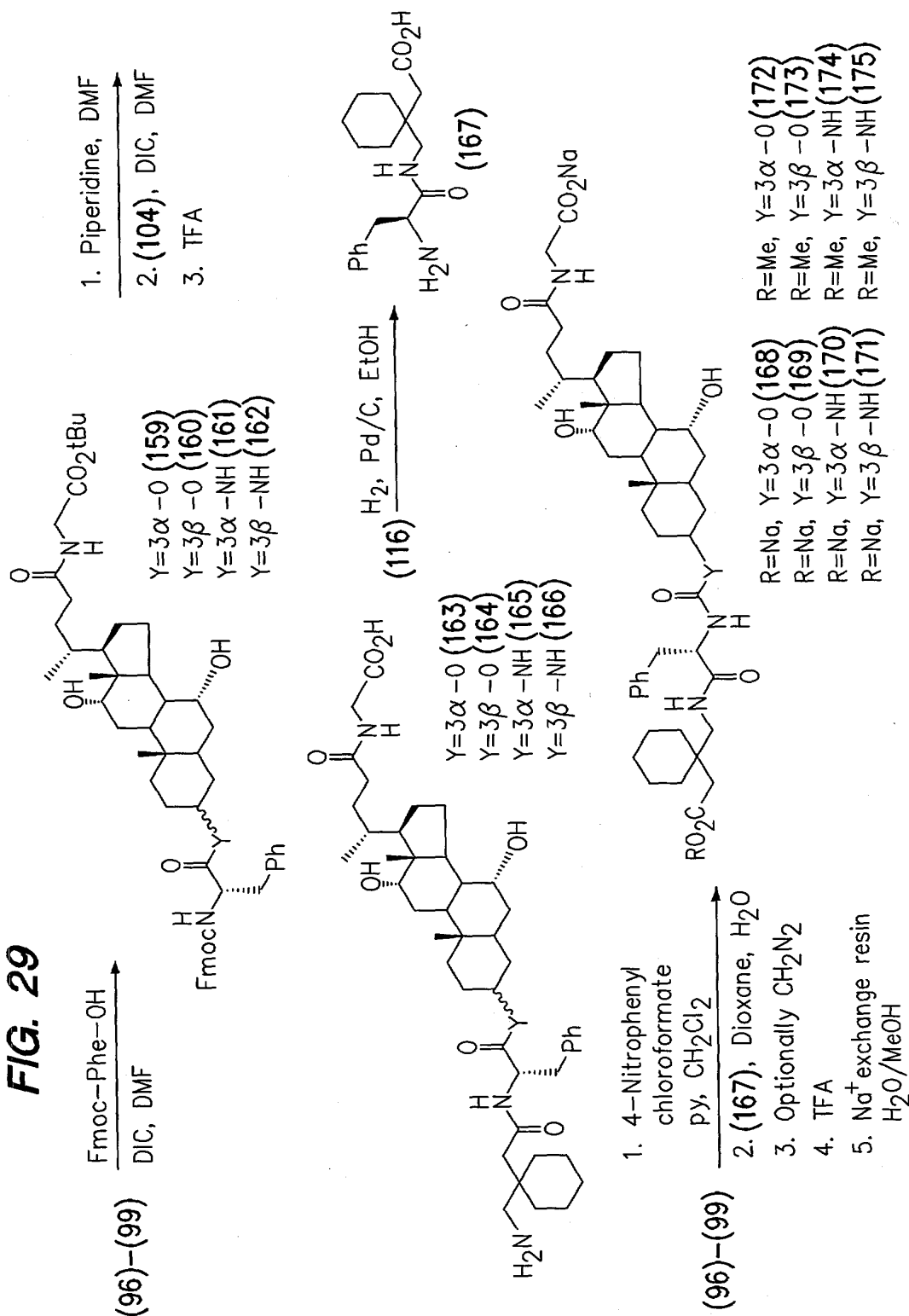
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FIG. 28



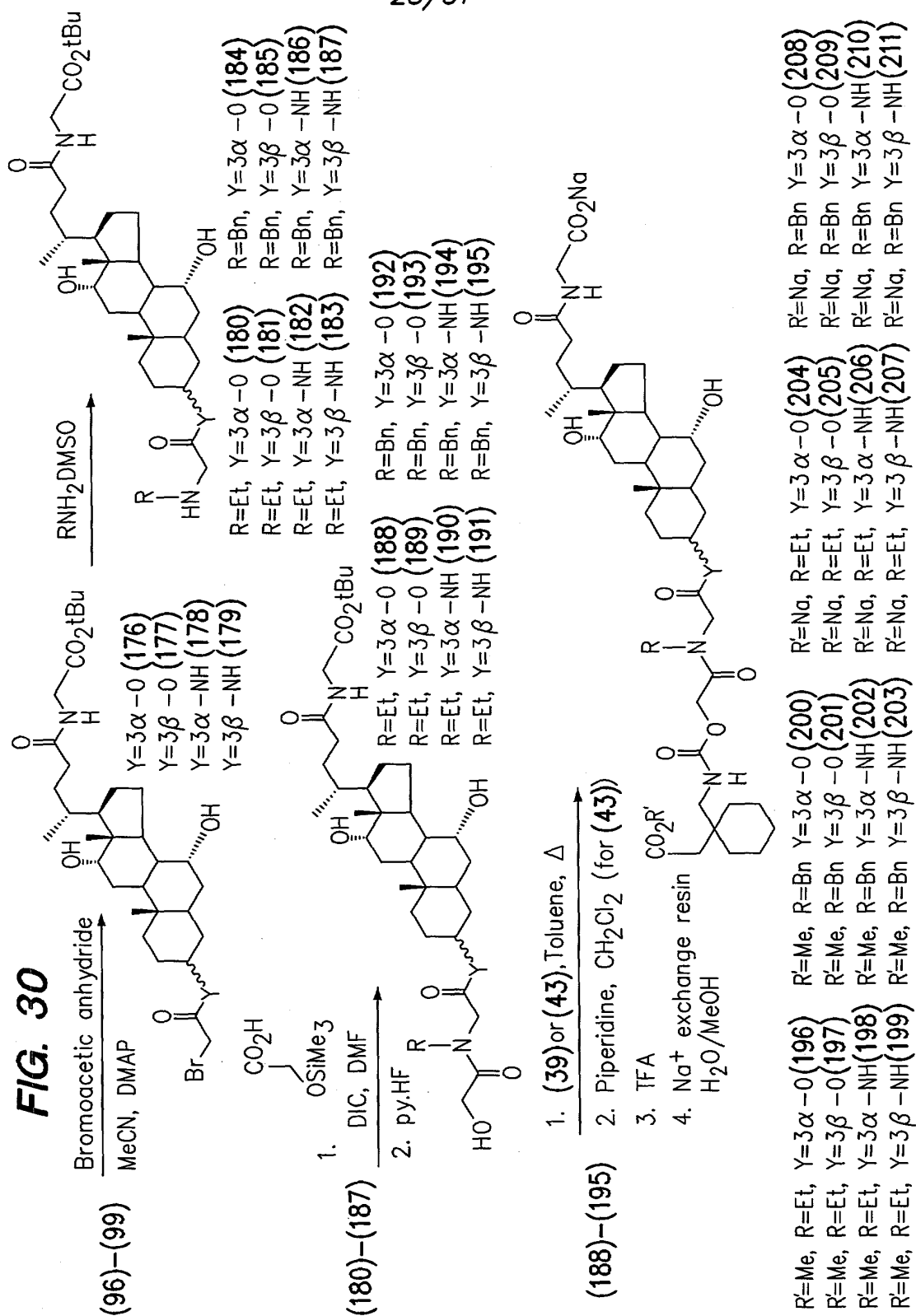
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FIG. 29



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FIG. 30



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FIG. 31

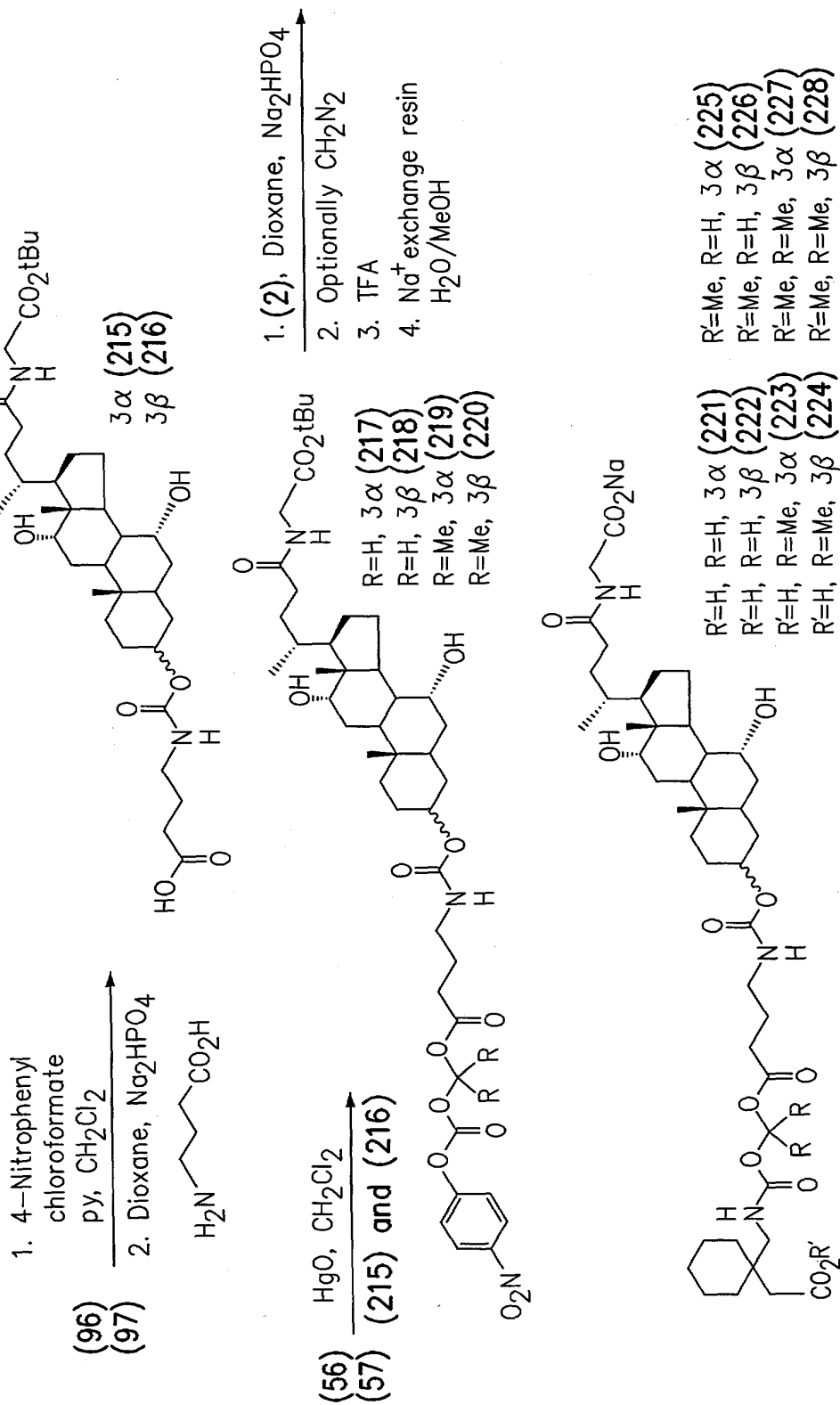
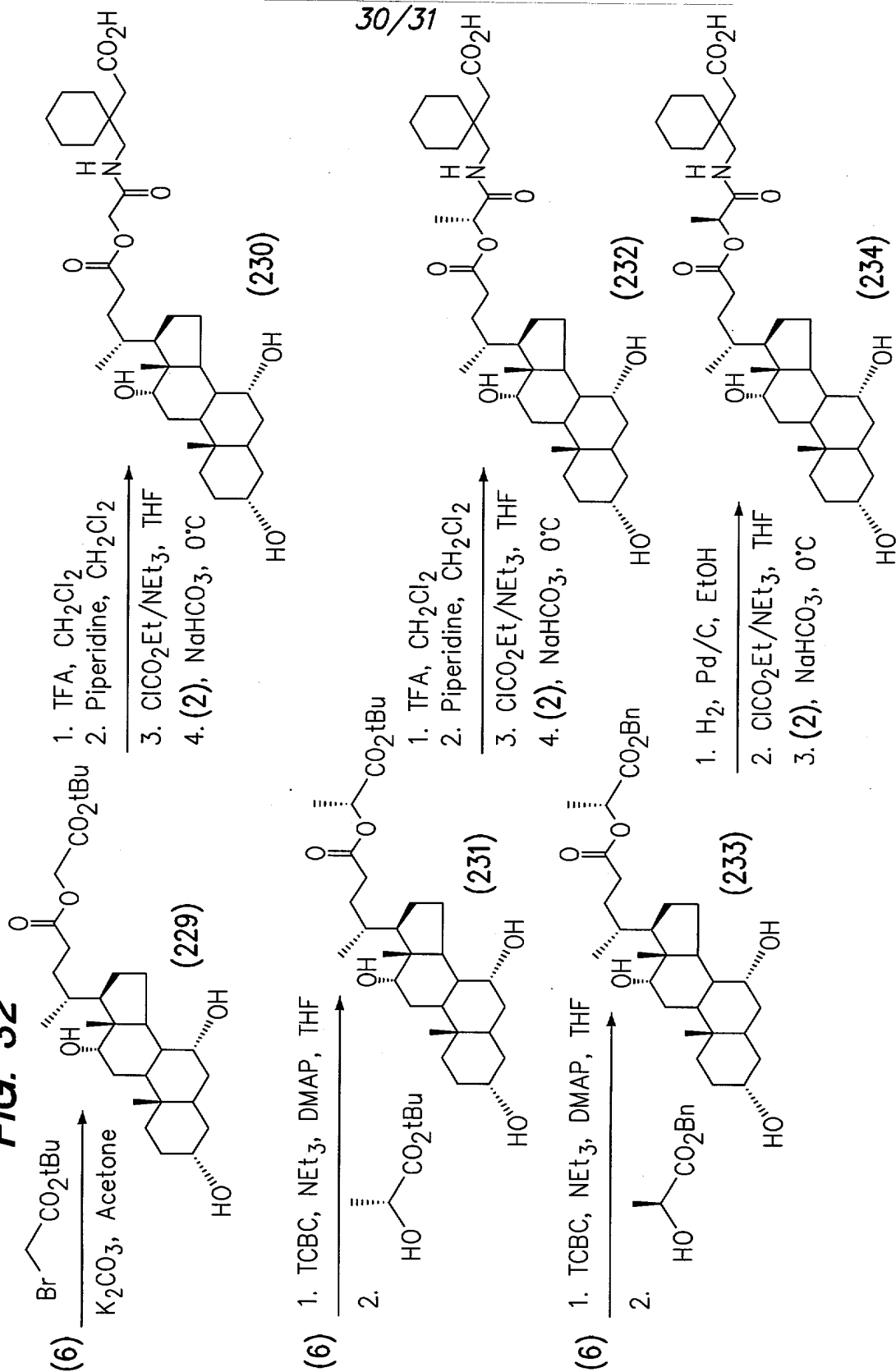
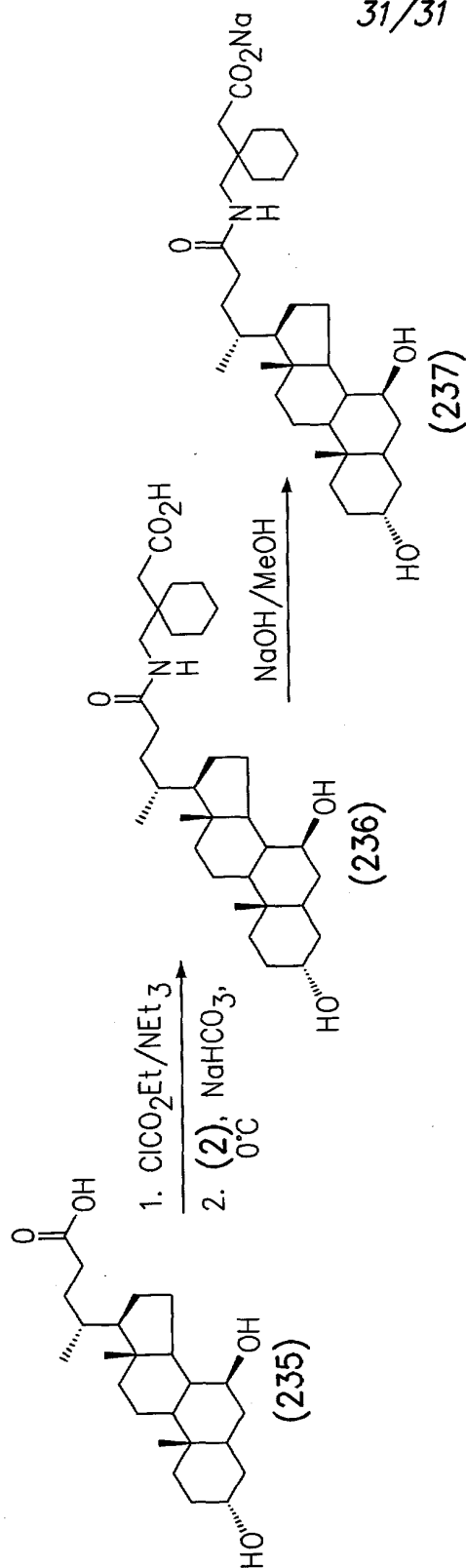


FIG. 32



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FIG. 33



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